



# 12

FIG.1A

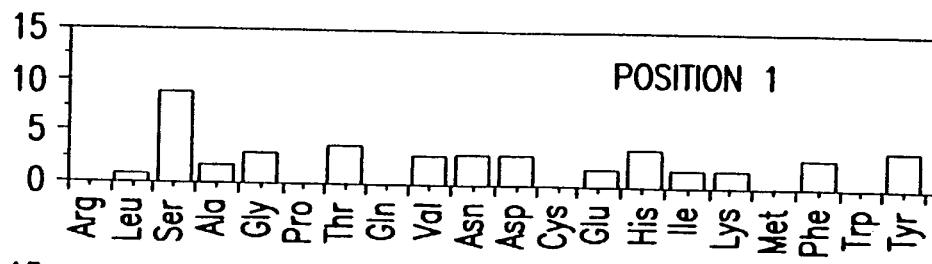


FIG.1B

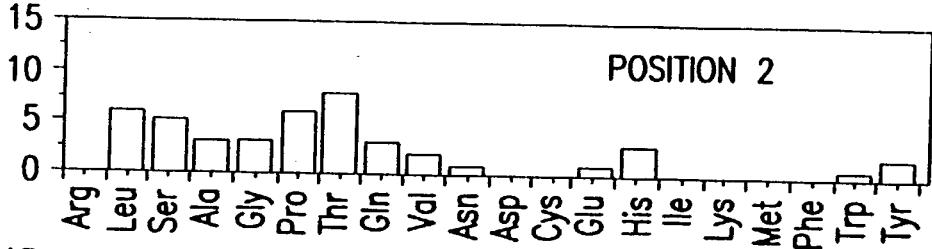


FIG.1C

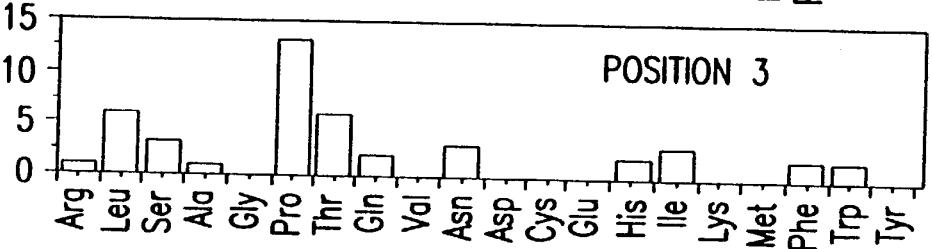


FIG.1D

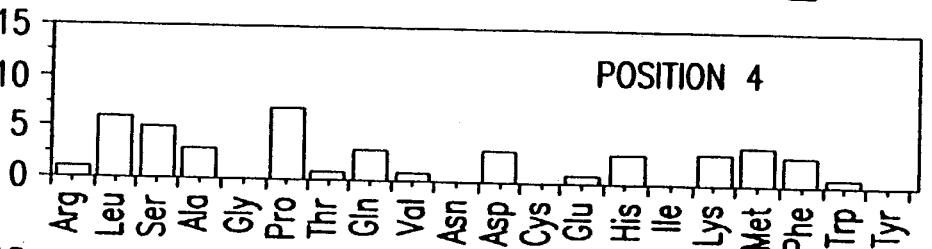


FIG.1E

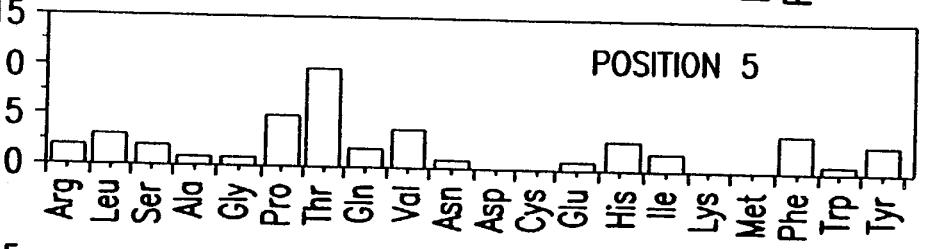


FIG.1F

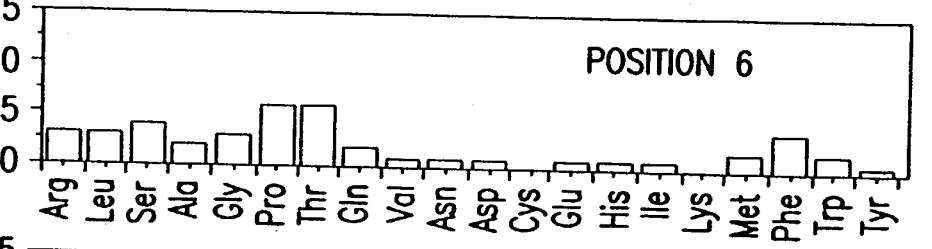
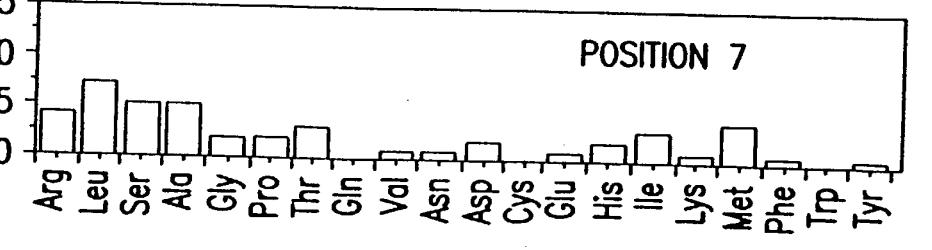


FIG.1G





His Thr Thr Val Tyr Gly Ala Gly  
CAT ACG ACT GTT TAT GGG GCT GGT

Thr Glu Thr Pro Tyr Pro Thr Gly  
ACT GAG ACG CCT TAT CCT ACT GGT

Leu Thr Thr Pro Phe Ser Ser Gly  
CTT ACT ACT CCG TTT TCG TCG GGT

Gly Val Pro Leu Thr Met Asp Gly  
GGT GTG CCT CTT ACG ATG GAT GGT

Lys Leu Pro Thr Val Leu Arg Gly  
AAG CTT CCG ACT GTT CTG CGG GGT

Cys Arg Phe His Gly Asn Arg Gly  
TGT CGC TTT CAT GGG AAT CGT GGT

Tyr Thr Arg Asp Phe Glu Ala Gly  
TAT ACT CGG GAT TTT GAG GCT GGT

Ser Ser Ala Ala Gly Pro Arg Gly  
TCG TCG GCG GCT GGT CCG CGG GGT

Ser Leu Ile Gln Tyr Ser Arg Gly  
TCT CTG ATT CAG TAT TCG AGG GGT

Asp Ala Leu Met Trp Pro UKN Gly  
GAT GCT CTT ATG TGG CCT NTG GGT

Ser Ser UKN Ser Leu Tyr Ile Gly  
TCG TCT CNT TCG TTG TAT ATT GGT

Phe Asn Thr Ser Thr Arg Thr Gly  
TTT AAT ACT TCG ACG CGT ACG GGT

Thr Val Gln His Val Ala Phe Gly  
ACT GTG CAG CAT GTT GCT TTT GGT

Asp Tyr Ser Phe Pro Pro Leu Gly  
GAT TAT TCT TTT CCG CCT CTT GGT

Val Gly Ser Met Glu Ser Leu Gly  
GTG GGG TCT ATG GAG TCG TTG GGT

Phe UKN Pro Met Ile UKN Ser Gly  
TTT CAN CCG ATG ATT NGN TCG GGT

Ala Pro Pro Arg Val Thr Met Gly  
GCG CCT CCG CGG GTT ACT ATG GGT

FIG.1H



Ile Ala Thr Lys Thr Pro Lys Gly  
ATT GCT ACG AAG ACG CCT AAG GGT

Lys Pro Pro Leu Phe Gln Ile Gly  
AAG CCT CCG TTG TTT CAG ATT GGT

Tyr His Thr Ala His Asn Met Gly  
TAT CAT ACT GCT CAT AAT ATG GGT

Ser Tyr Ile Gln Ala Thr His Gly  
TCT TAT ATT CAG GCT ACG CAT GGT

Ser Ser Phe Ala Thr Phe Leu Gly  
TCG TCT TTT GCT ACT TTT CTT GGT

Thr Thr Pro Pro Asn Phe Ala Gly  
ACG ACT CCG CCG AAT TTT GCG GGT

Ile Ser Leu Asp Pro Arg Met Gly  
ATT TCT CTT GAT CCG CGT ATG GGT

Ser Leu Pro Leu Phe Gln Ala Gly  
TCG CTG CCG CTG TTT GGT GCG GGT

Asn Leu Leu Lys Thr Thr Leu Gly  
AAT CTT CTT AAG ACT ACG CTT GGT

Asp Gln Asn Leu Pro Arg Arg Gly  
GAT CAG AAT CTG CCG CGG CGG GGT

Ser His Phe Gln Gln Leu Leu Gly  
AGT CAT TTT GAG CAG CTG CTT GGT

Thr Pro Gln Leu His His Gly Gly  
ACG CCG CAG CTT CAT CAT GGT GGT

Ala Pro Leu Asp Arg Ile Thr Gly  
GCG CCT CTG GAT AGG ATT ACG GGT

Phe Ala Pro Leu Ile Ala His Gly  
TTT GCG CCT CTT ATT GCG CAT GGT

Ser Trp Ile TER Thr Phe Met Gly  
TCG TGG ATT TAG ACG TTT ATG GGT

Asn Thr Trp Pro His Met Tyr Gly  
AAT ACT TGG CCT CAT ATG TAT GGT

Glu Pro Leu Pro Thr Thr Leu Gly  
GAG CCT CTT CCG ACT ACG TTG GGT

His Gly Pro His Leu Phe Asn Gly  
CAT GGG CCT CAT CTG TTT AAT GGT

FIG. 11



Tyr Leu Asn Ser Thr Leu Ala Gly  
TAT CTG AAT TCT ACG CTT GCT GGT

His Leu His Ser Pro Ser Gly Gly  
CAT CTT CAT AGT CCG TCG GGG GGT

**FIG. 1J**



FIG.2A

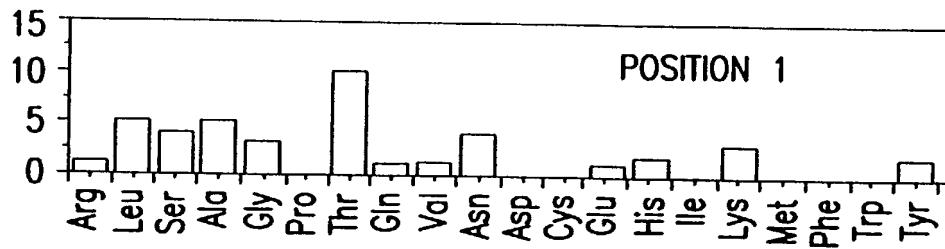


FIG.2B

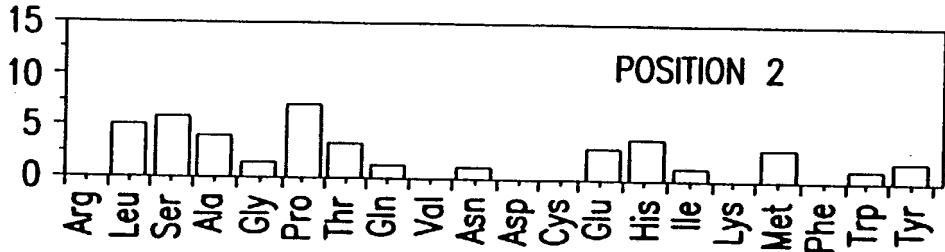


FIG.2C

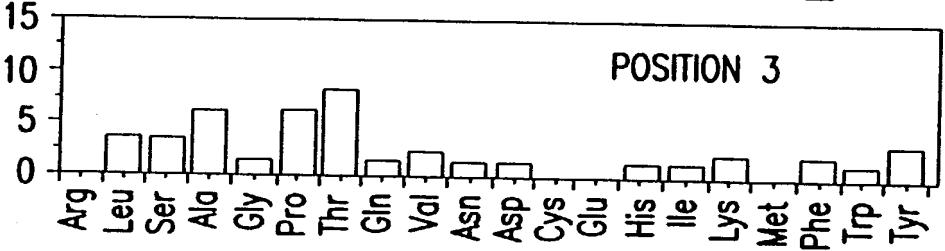


FIG.2D

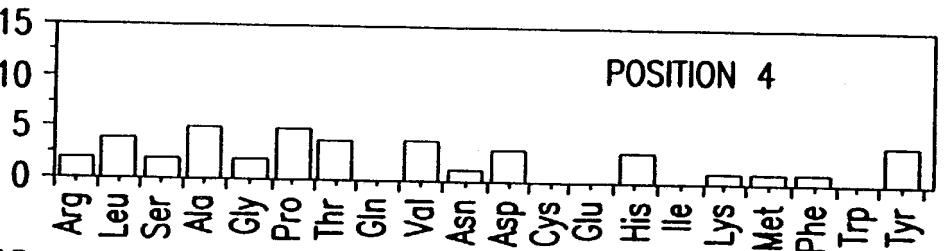


FIG.2E

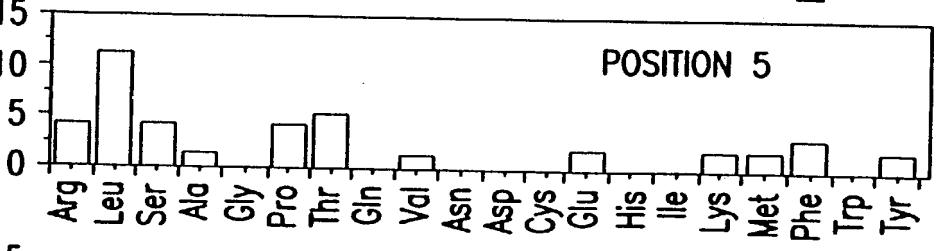


FIG.2F

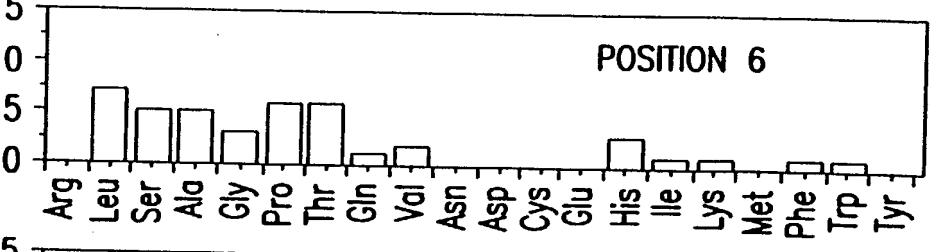
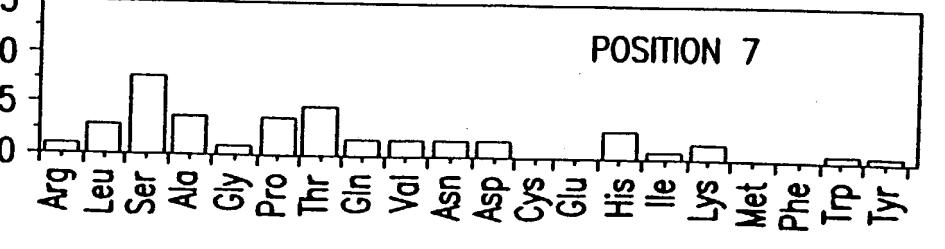


FIG.2G





Thr Leu Pro His Arg Leu Asn Gly  
ACT CTG CCT CAT CGT CTG AAT GGT

Ser Ser Pro Arg Glu Val His Gly  
TCG AGT CCG AGG GAG GTT CAT GGT

Asn Gln Val Asp Thr Ala Arg Gly  
AAT CAG GTT GAT ACG GCT CGG GGT

Tyr Pro Thr Pro Leu Leu Thr Gly  
TAT CCT ACG CCG CTG CTG ACT GGT

His Pro Ala Ala Phe Pro Trp Gly  
CAT CCT GCT GCT TTT CCT TGG GGT

Leu Ieu Pro His Ser Ser Ala Gly  
CTT CTT CCG CAT TCT AGT GCT GGT

Leu Glu Thr Tyr Thr Ala Ser Gly  
CTT GAG ACT TAT ACG GCT TCT GGT

Lys Tyr Val Pro Leu Pro Pro Gly  
AAG TAT GTG CCT CTG CCG CCG GGT

Ala Pro Leu Ala Leu His Ala Gly  
GCG CCG TTG GCT CTG CAT GCG GGT

Tyr Glu Ser Leu Leu Thr Lys Gly  
TAT GAG TCG CTG CTG ACT AAG GGT

Ser His Ala Ala Ser Gly Thr Gly  
TCT CAT GCG GCT TCT GGT ACT GGT

Gly Leu Ala Thr Val Lys Ser Gly  
GGT TTG GCG ACT GTT AAG TCT GGT

Gly Ala Thr Ser Phe Gly Leu Gly  
GGT GCT ACG TCT TTT GGG CTT GGT

Lys Pro Pro Gly Pro Val Ser Gly  
AAG CCG CCT GGG CCG GTG TCG GGT

Thr Leu Tyr Val Ser Gly Asn Gly  
ACT CTT TAT GTT TCT GGG AAT GGT

His Ala Pro Phe Lys Ser Gln Gly  
CAT GCT CCG TTT AAG TCT CAG GGT

Val Ala Phe Thr Arg Leu Pro Gly  
GTG GCG TTT ACG CGG CTT CCG GGT

FIG.2H



Leu Pro Thr Arg Thr Pro Ala Gly  
CTG CCG ACT CGT ACG CCG GCT GGT

Ala Ser Phe Asp Leu Leu Ile Gly  
GCG AGT TTT GAT CTT TTG ATT GGT

Arg Met Asn Thr Glu Pro Pro Gly  
CGG ATG AAT ACT GAG CCT CCG GGT

Lys Met Thr pro Leu Thr Thr Gly  
AAG ATG ACT CCT CTG ACG ACT GGT

Ala Asn Ala Thr Pro Leu Leu Gly  
GCG AAT GCG ACG CCT CTG CTG GGT

Thr Ile Trp Pro Pro Pro Val Gly  
ACT ATT TGG CCT CCG CCT GTT GGT

Gln Thr Lys Val Met Thr Thr Gly  
CAG ACT AAG GTG ATG ACG ACG GGT

Asn His Ala Val Phe Ala Ser Gly  
AAT CAT GCT GTT TTT GCT AGT GGT

Leu His Ala Ala UKN Thr Ser Gly  
CTG CAT GCG GCT ANT ACG TCG GGT

Thr Trp Gln Pro Tyr Phe His Gly  
ACG TGG CAG CCG TAT TTT CAT GGT

Ala Pro Leu Ala Leu His Ala Gly  
GCG CCG TTG GCT CTG CAT GCG GGT

Thr Ala His Asp Leu Thr Val Gly  
ACG GCG CAT GAT CTG ACT GTT GGT

Asn Met Thr Asn Met Leu Thr Gly  
AAT ATG ACT AAT ATG CTT ACT GGT

Gly Ser Gly Leu Ser Gln Asp Gly  
GGT TCT GGG CTG TCT CAG GAT GGT

Thr Pro Ile Lys Thr Ile Tyr Gly  
ACG CCG ATT AAG ACG ATT TAT GGT

Ser His Leu Tyr Arg Ser Ser Gly  
TCG CAT CTG TAT CGT TCT AGT GGT

FIG.21

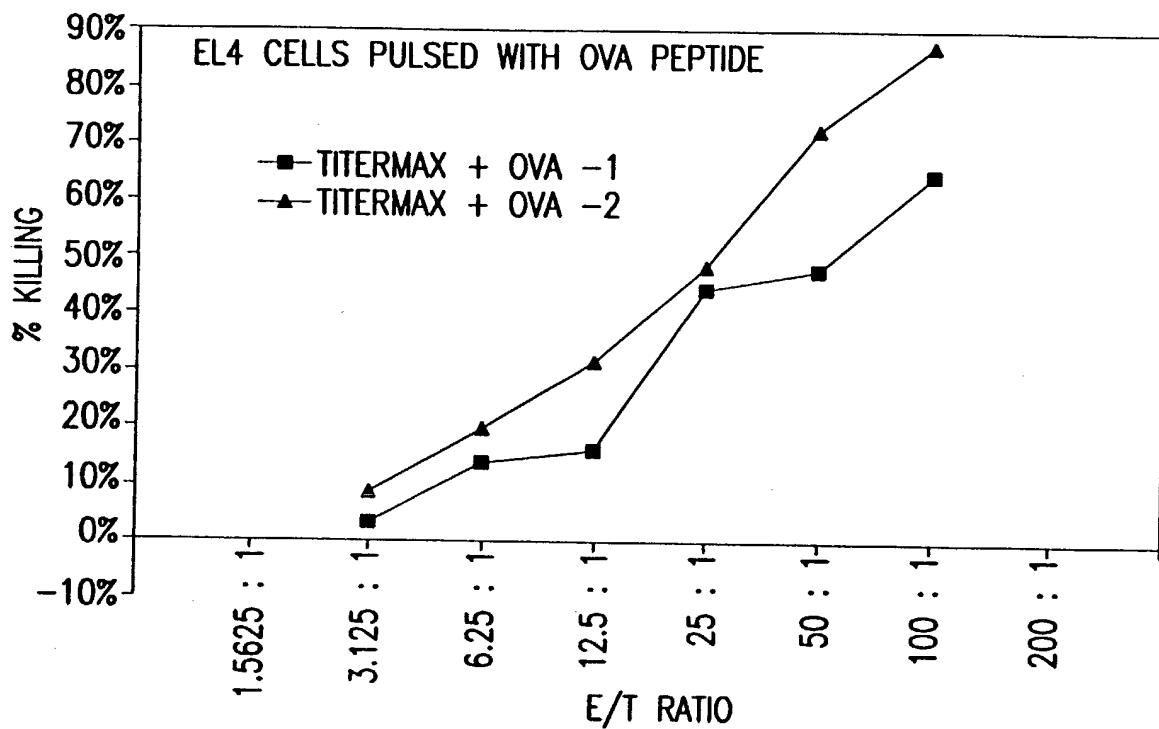
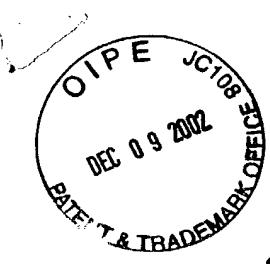


FIG. 3A

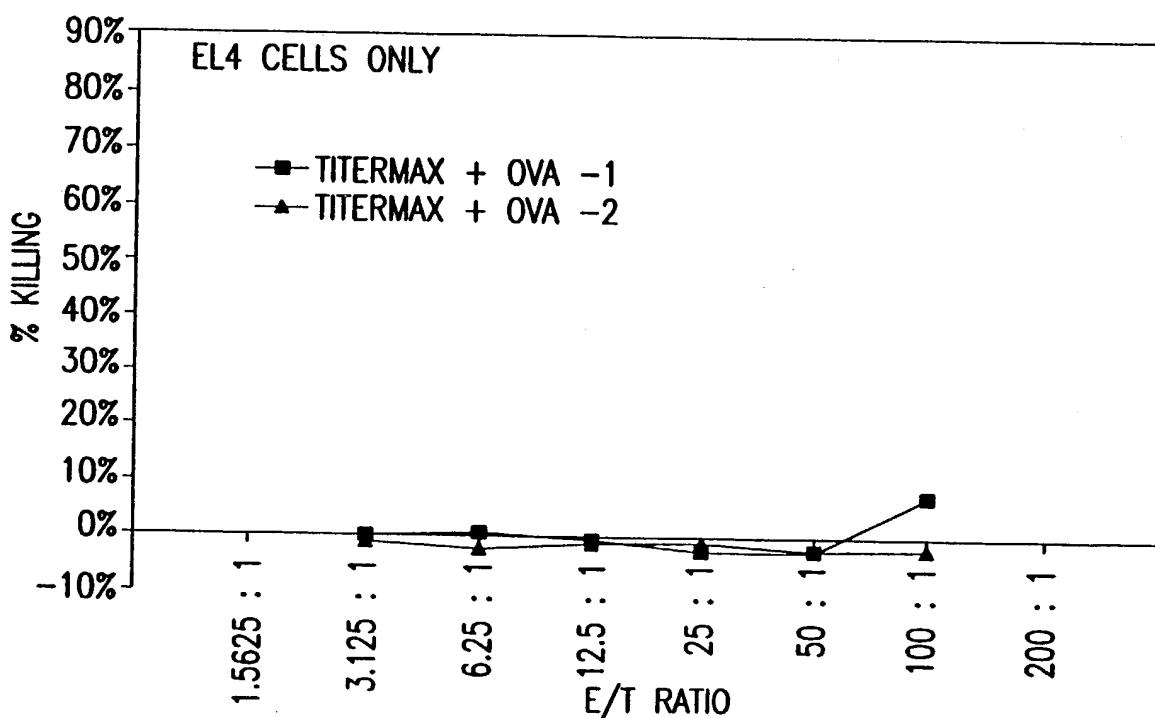


FIG. 3B

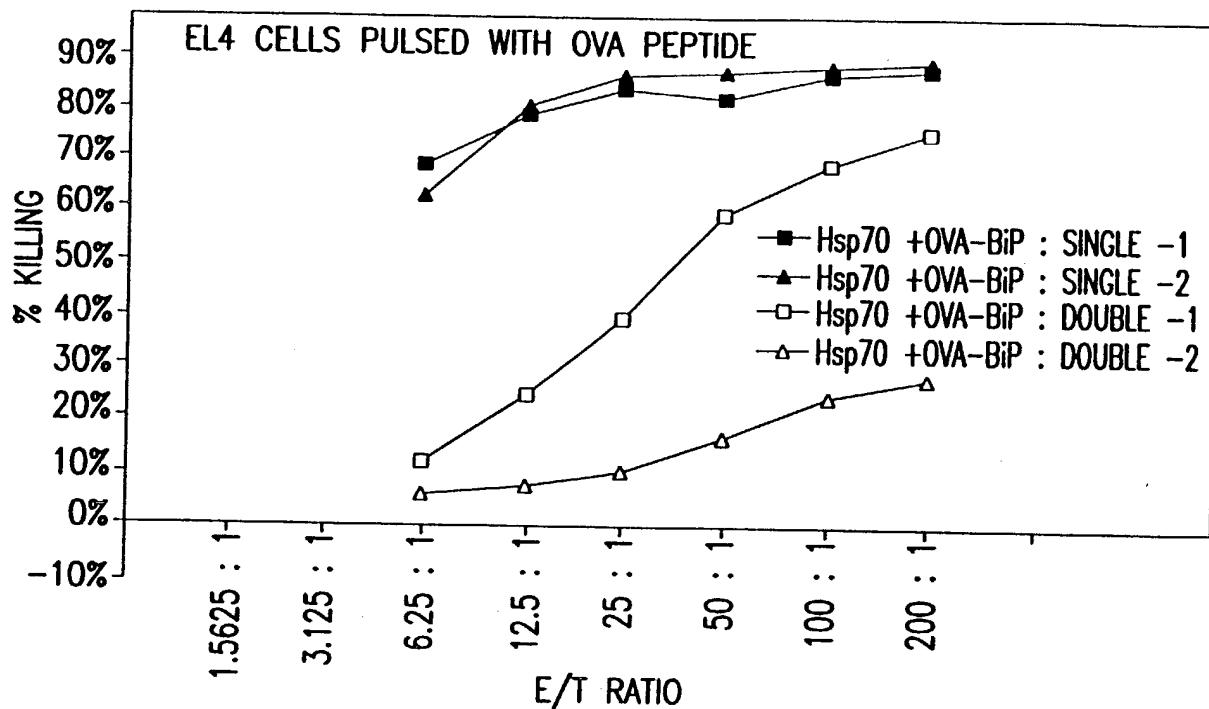


FIG.4A

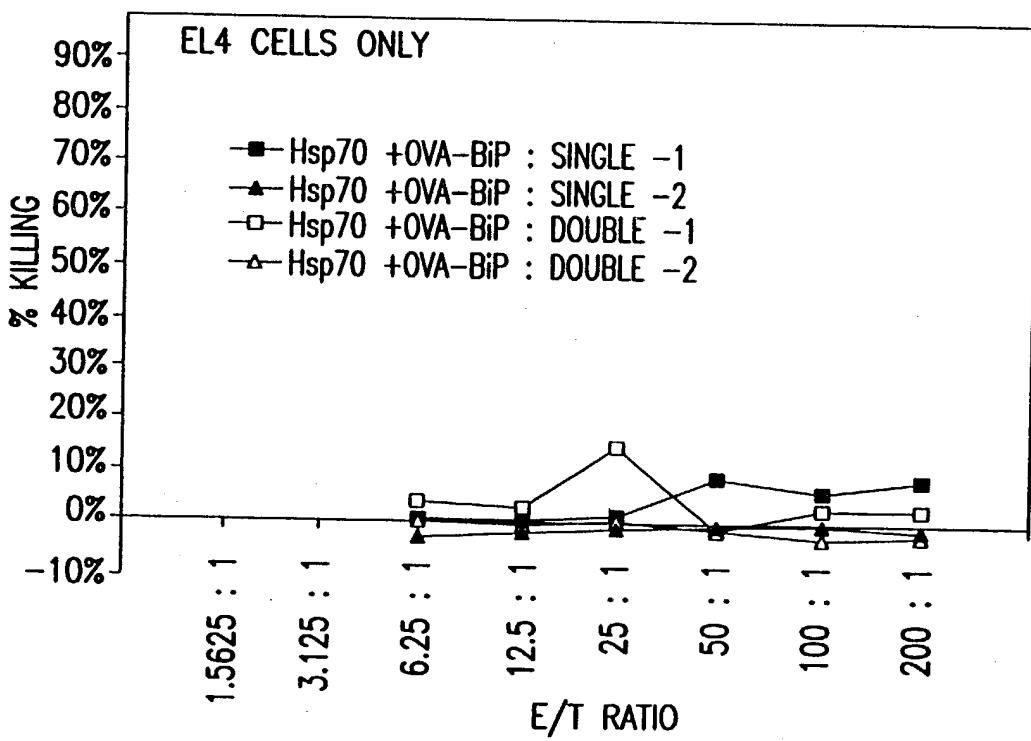


FIG.4B

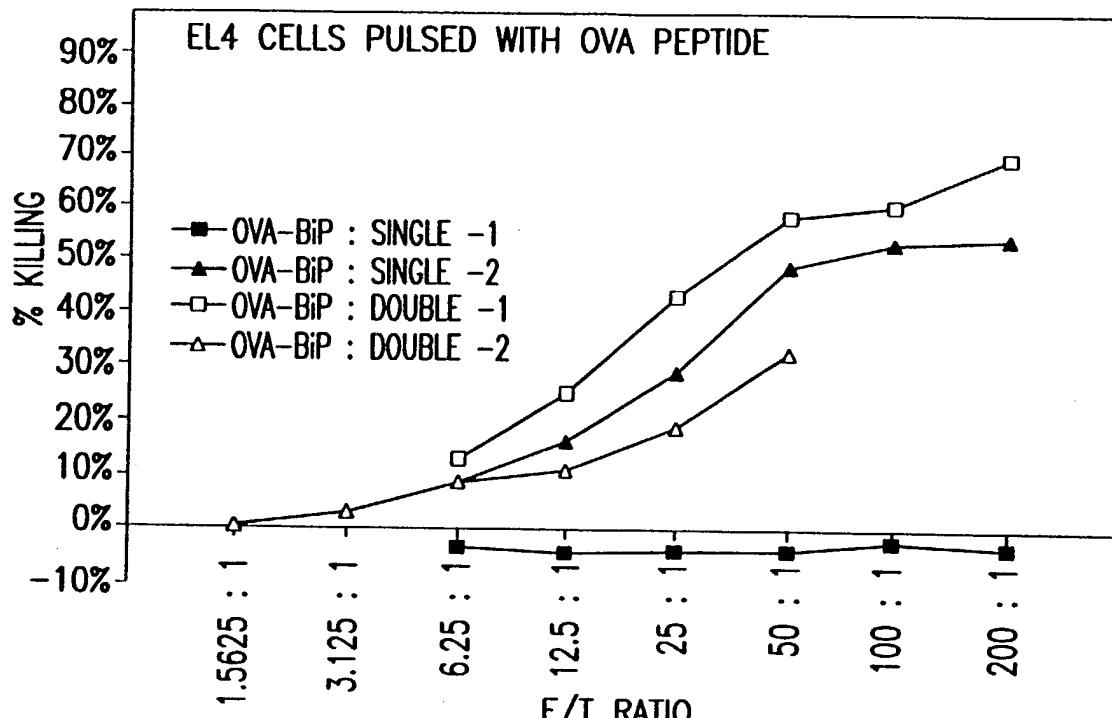


FIG.5A

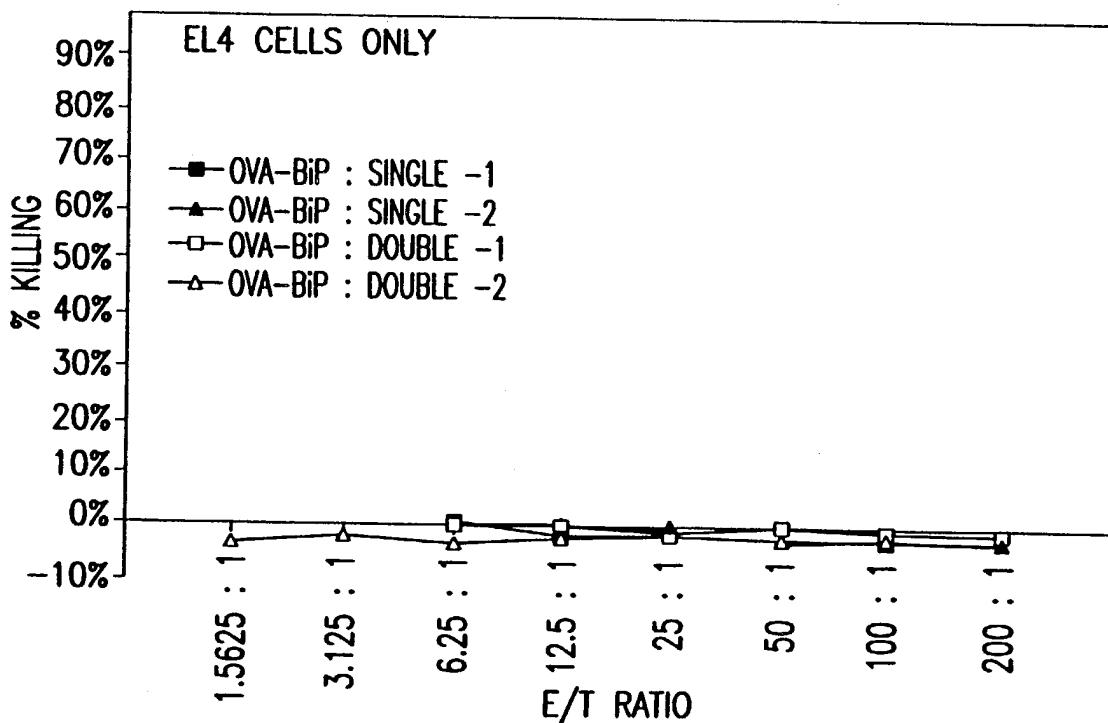


FIG.5B

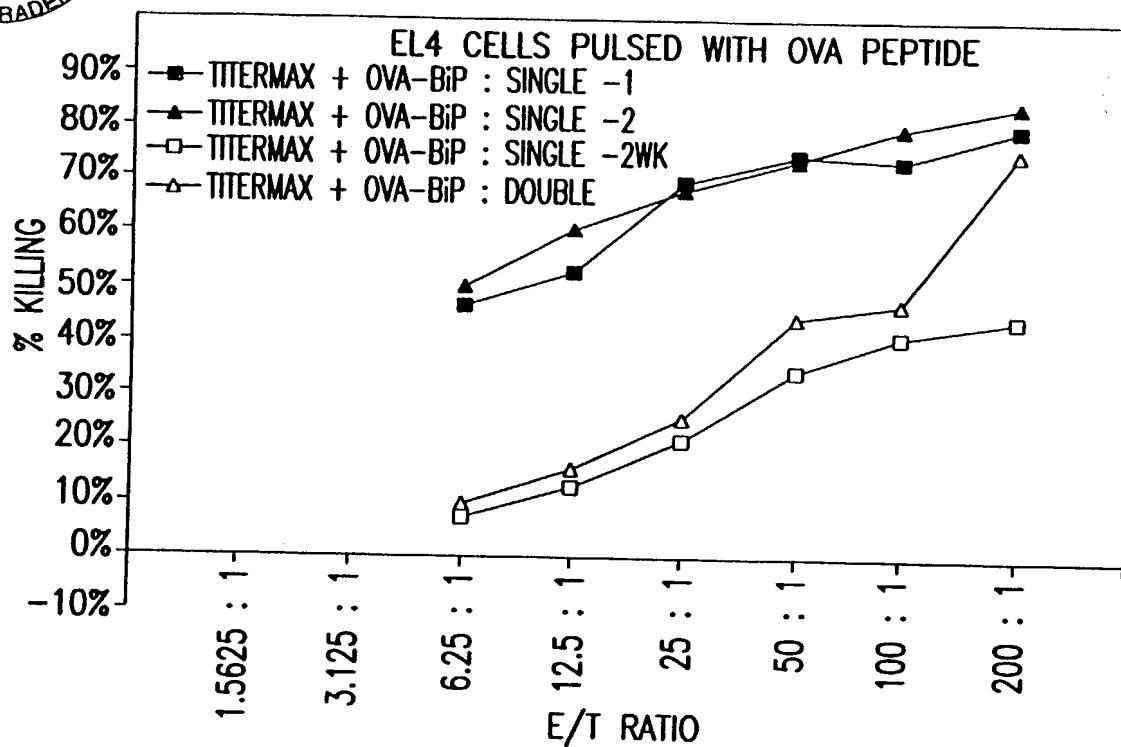


FIG.6A

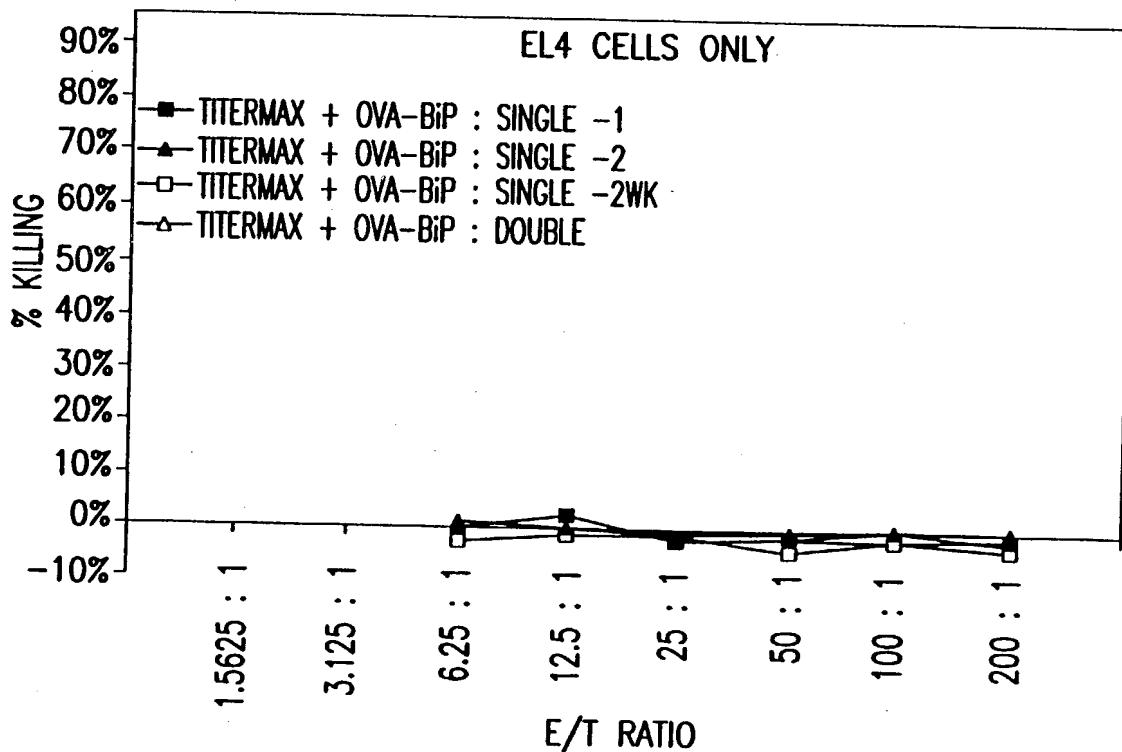


FIG.6B

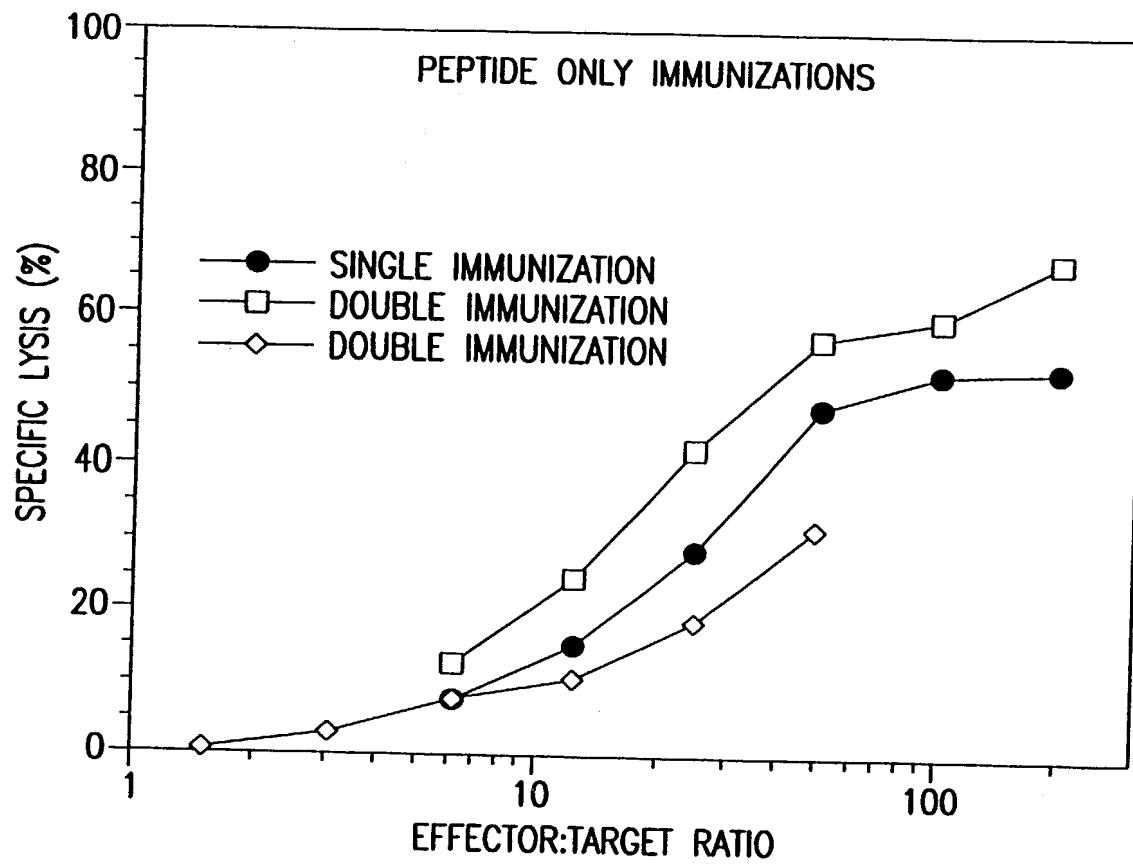


FIG.7

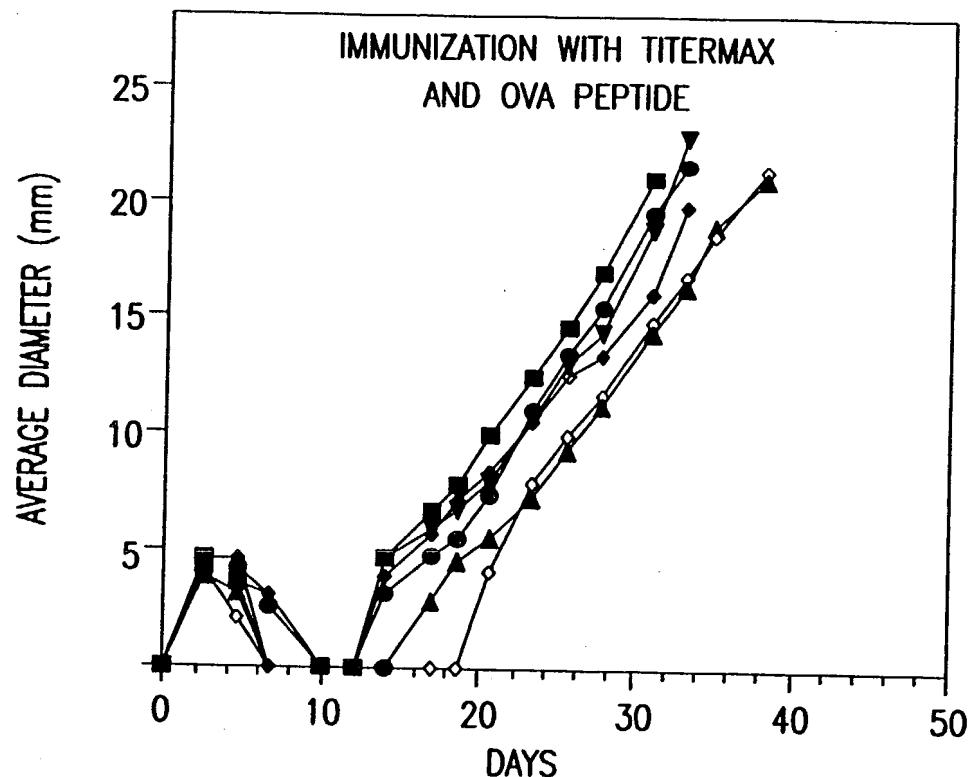


FIG.8A

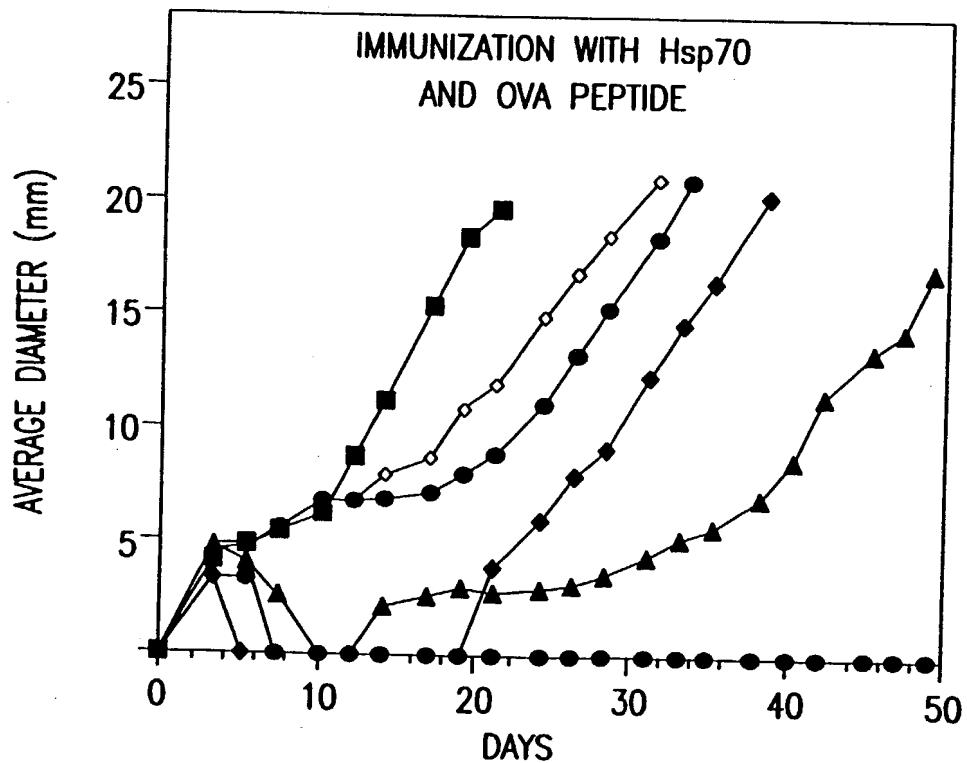


FIG. 8B

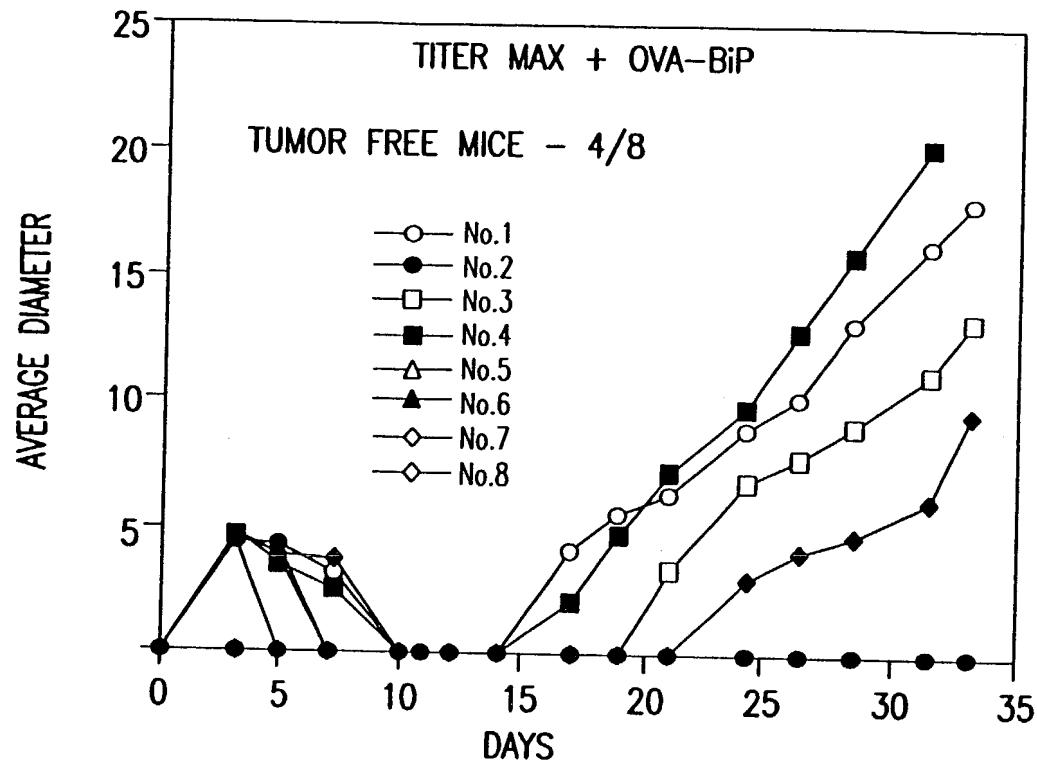


FIG.8C

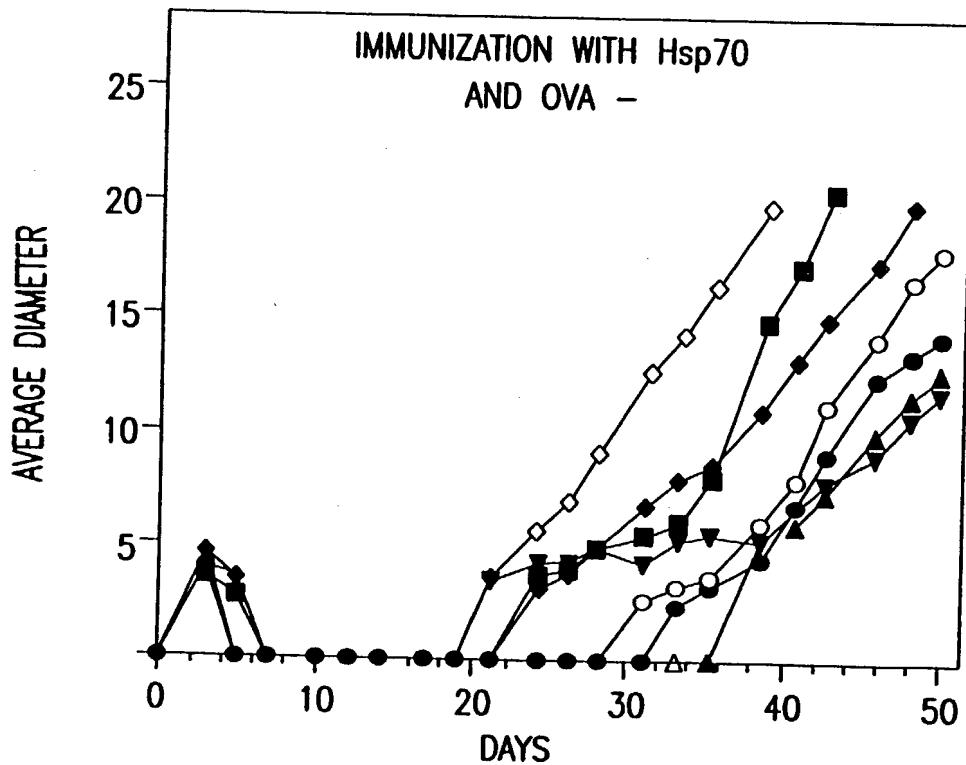


FIG.8D

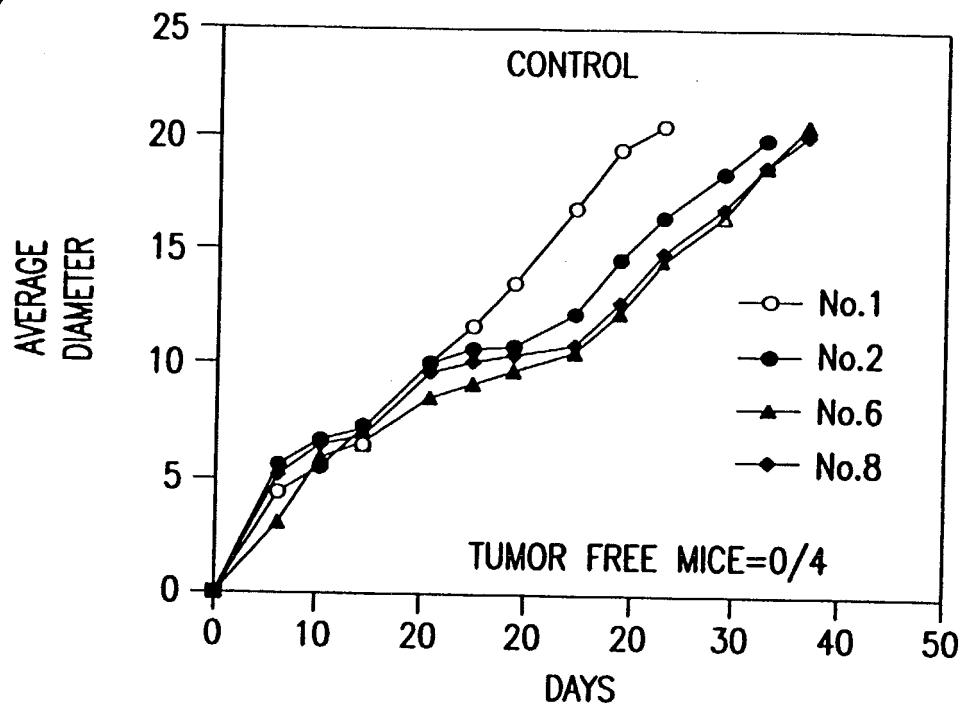


FIG. 8E

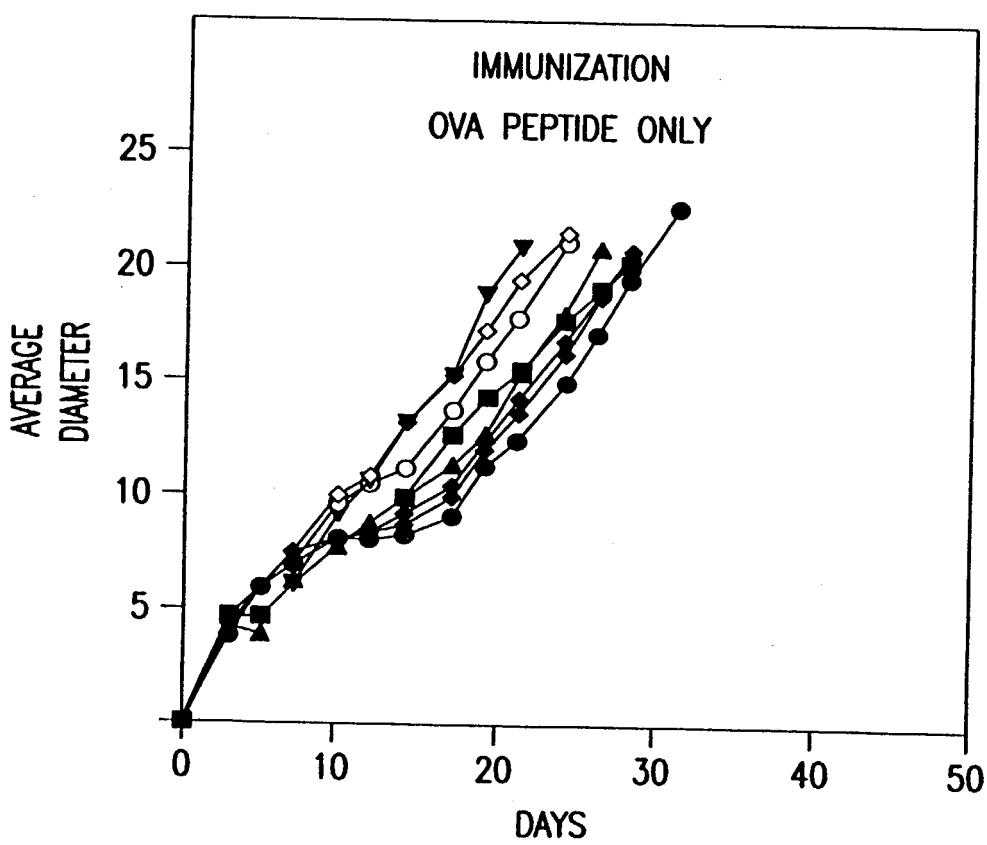


FIG.8F

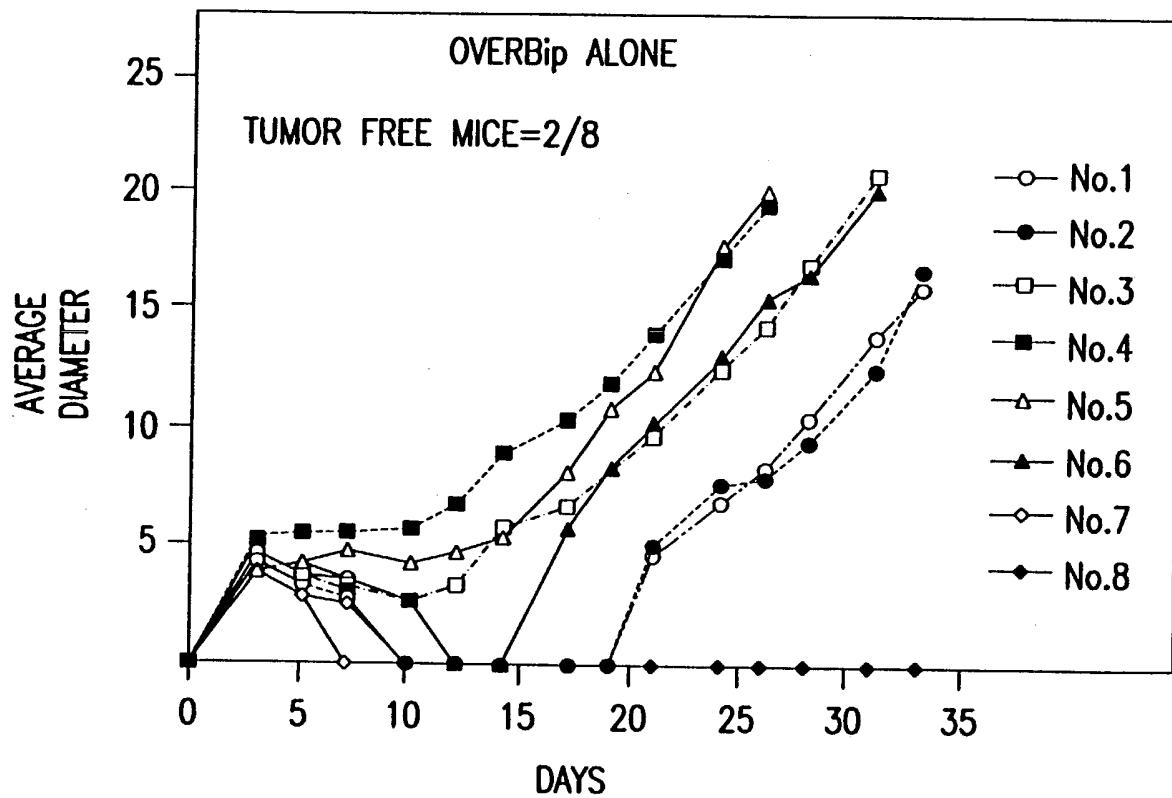


FIG.8G

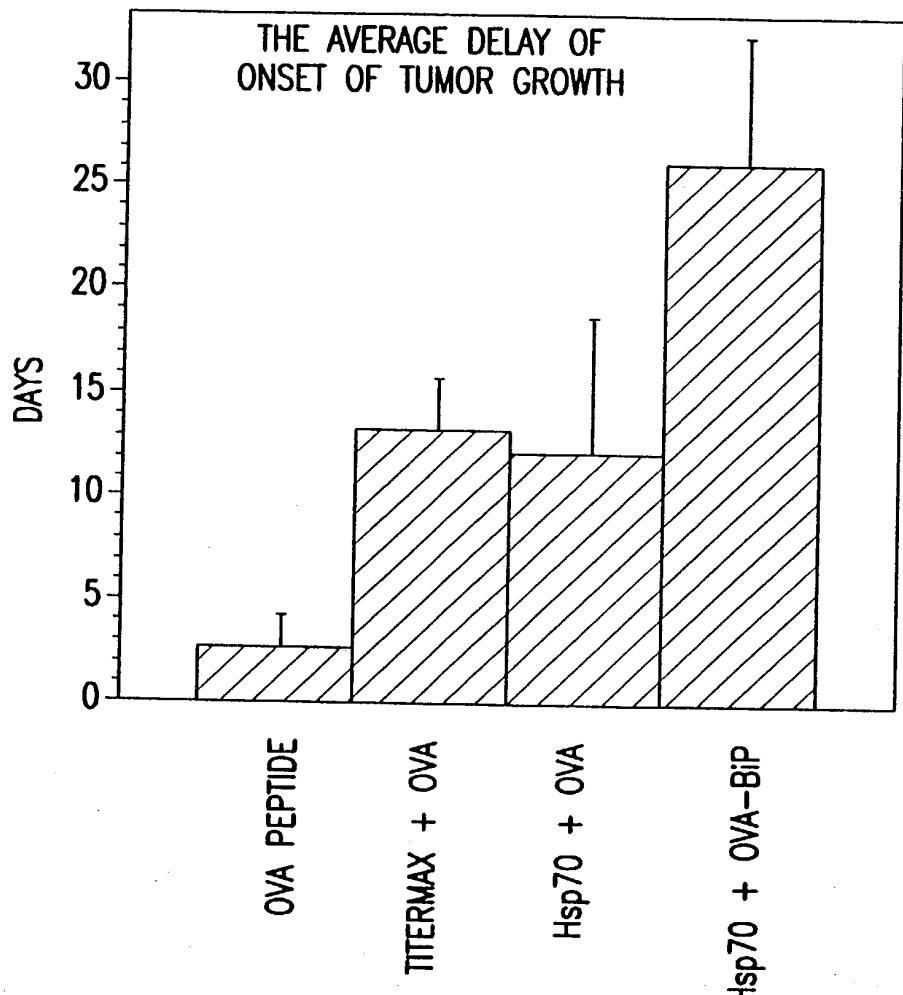


FIG.8H

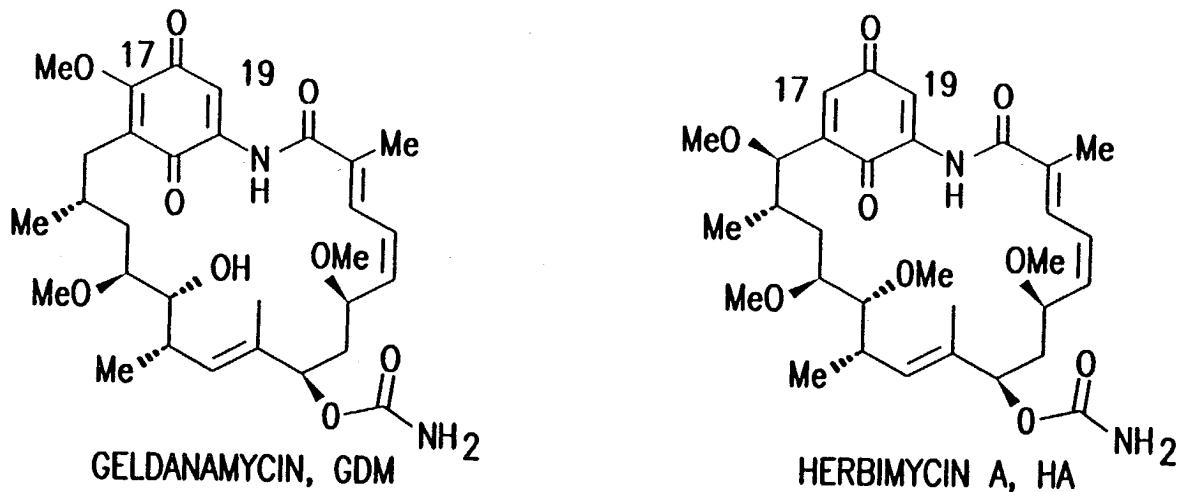


FIG.9A

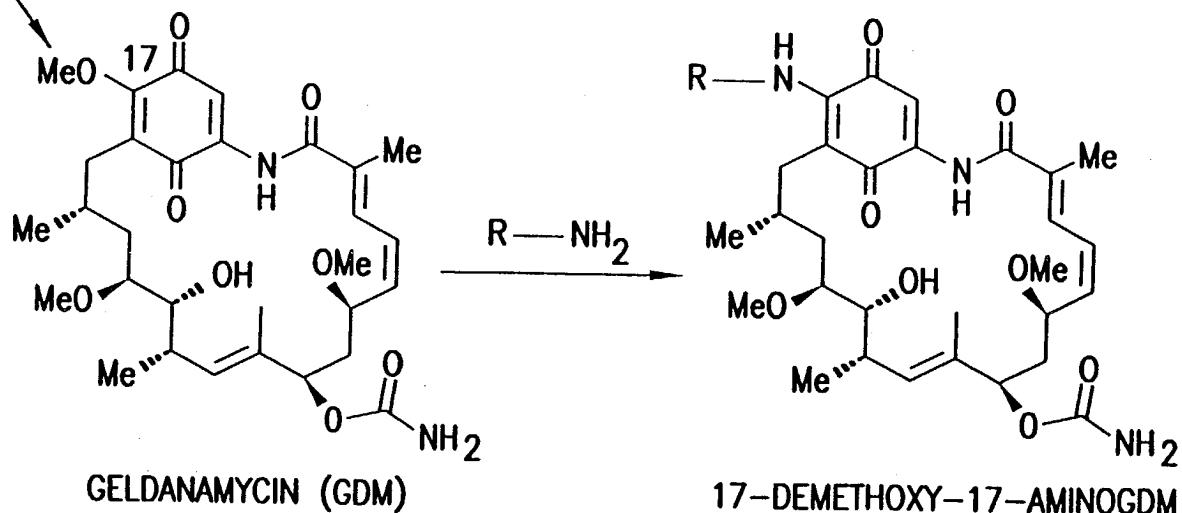


FIG.9B

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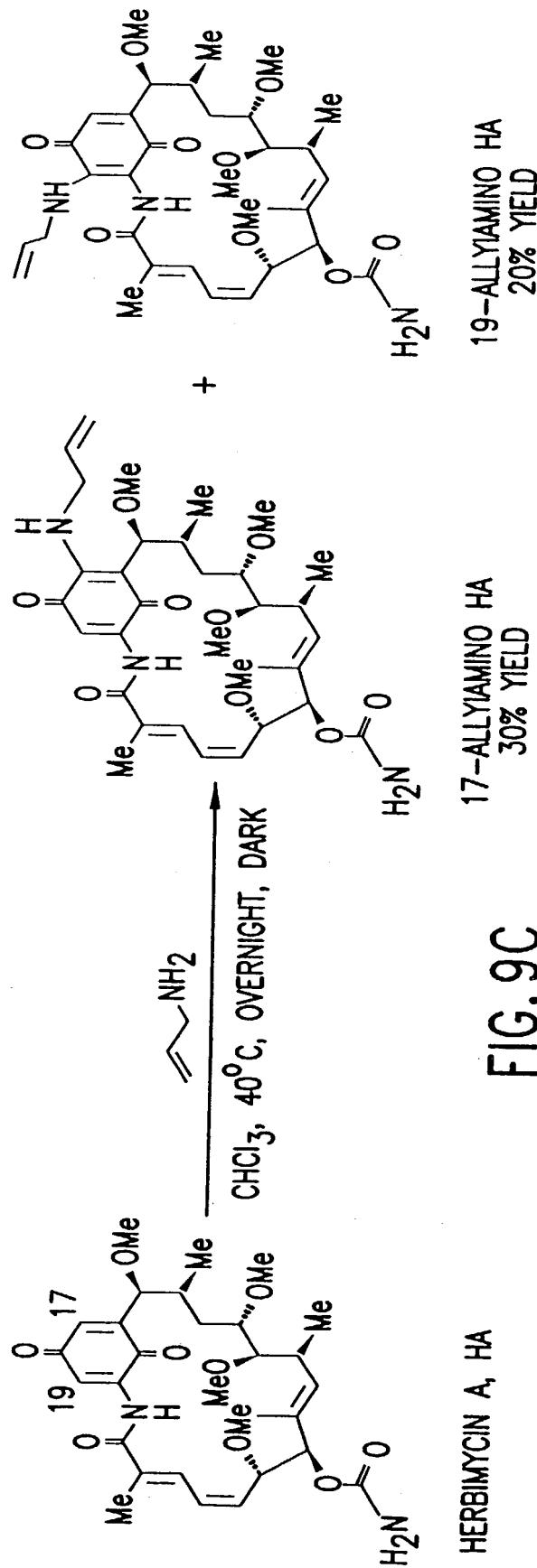
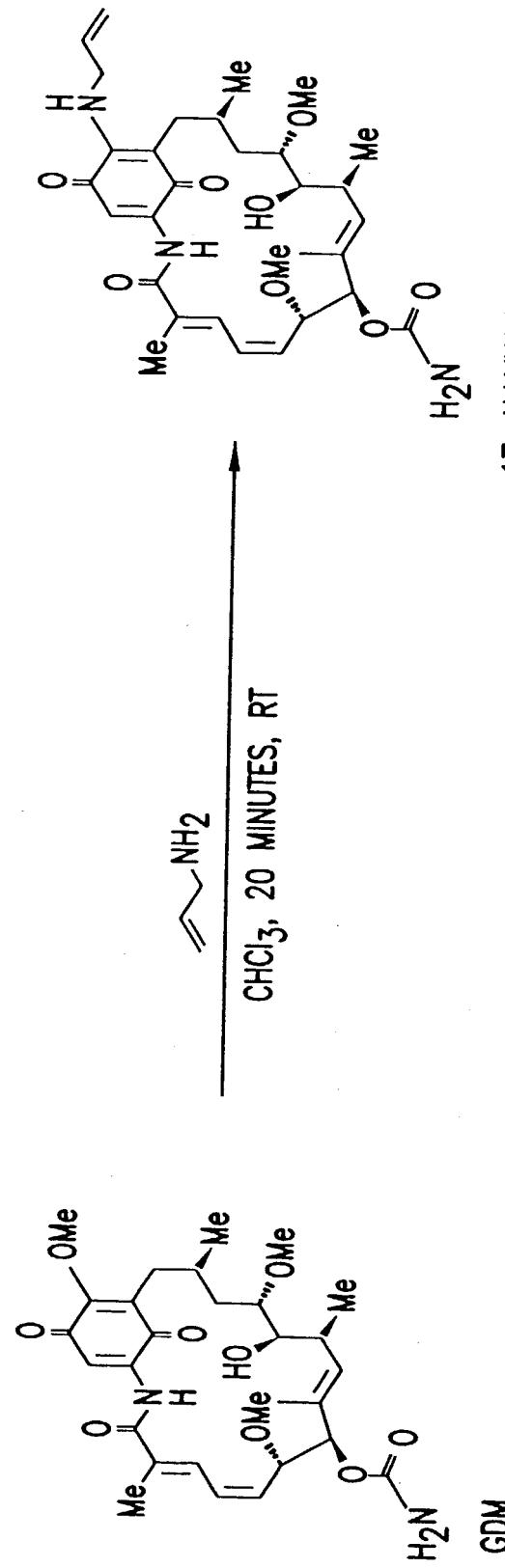
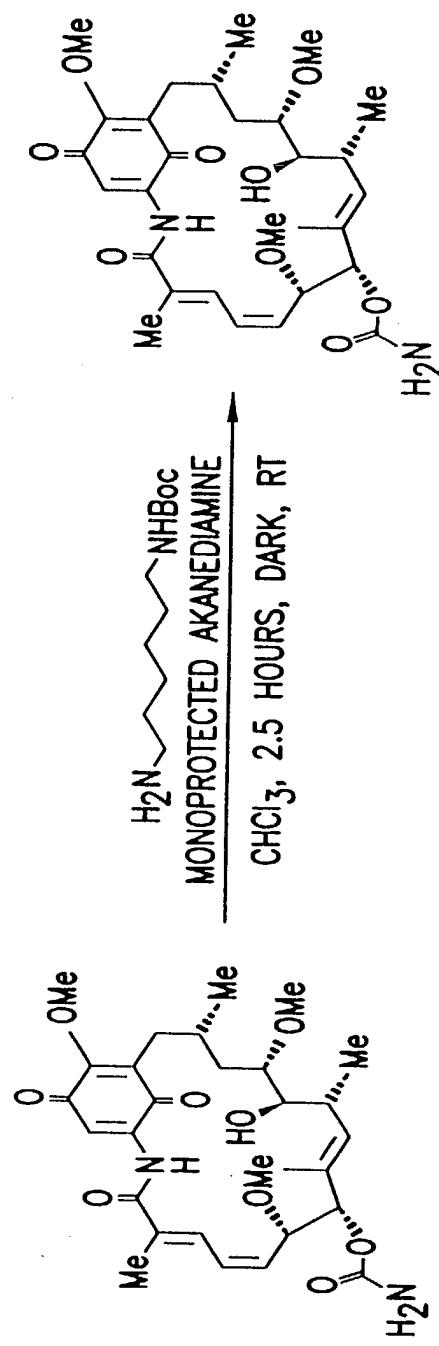
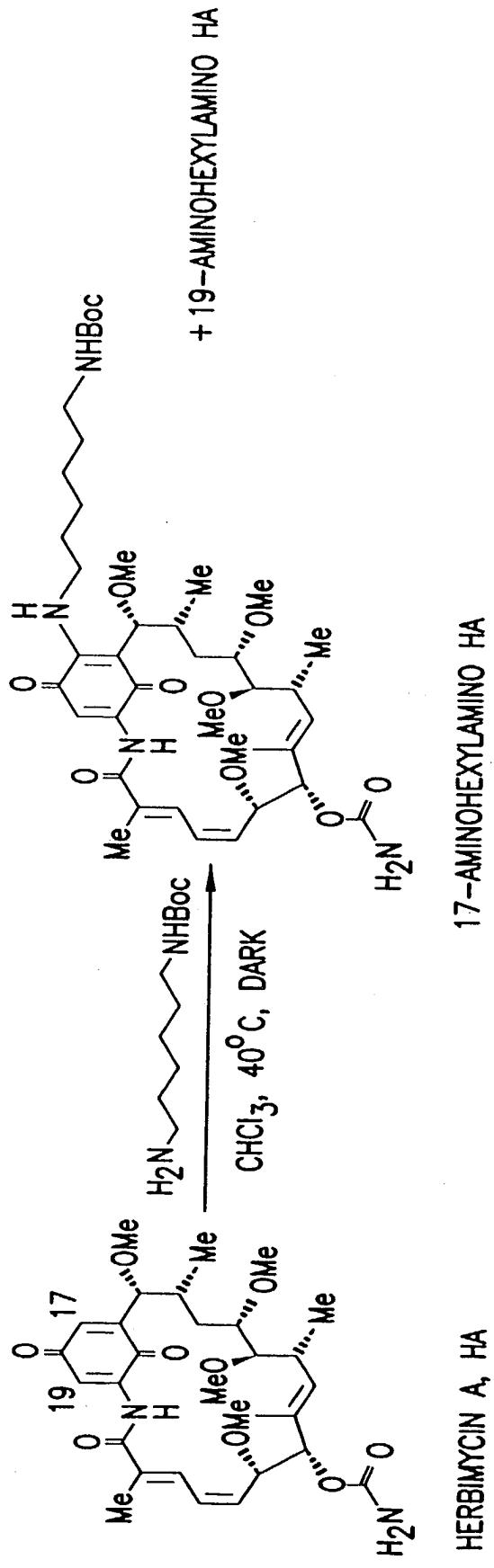


FIG. 9C



**AMINOTETHERED GDM**



**FIG. 9D**

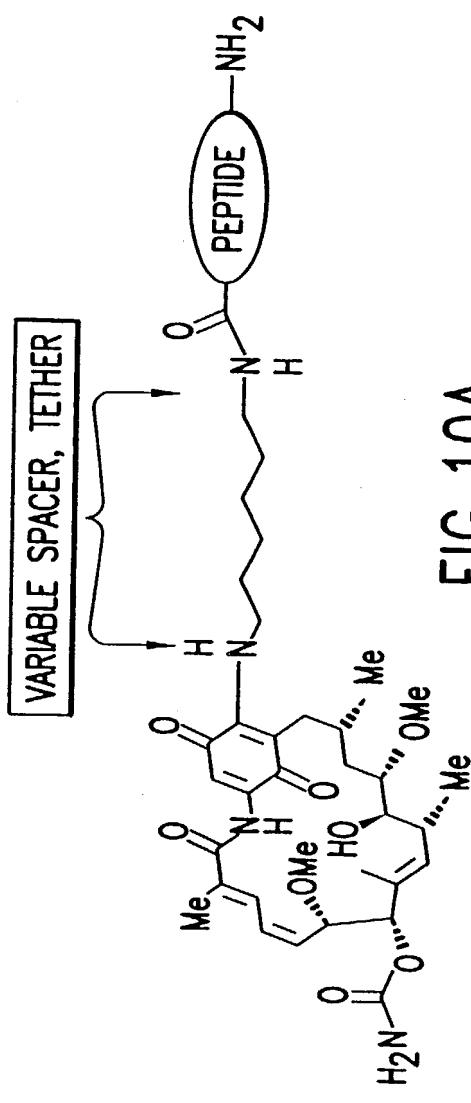


FIG. 10A

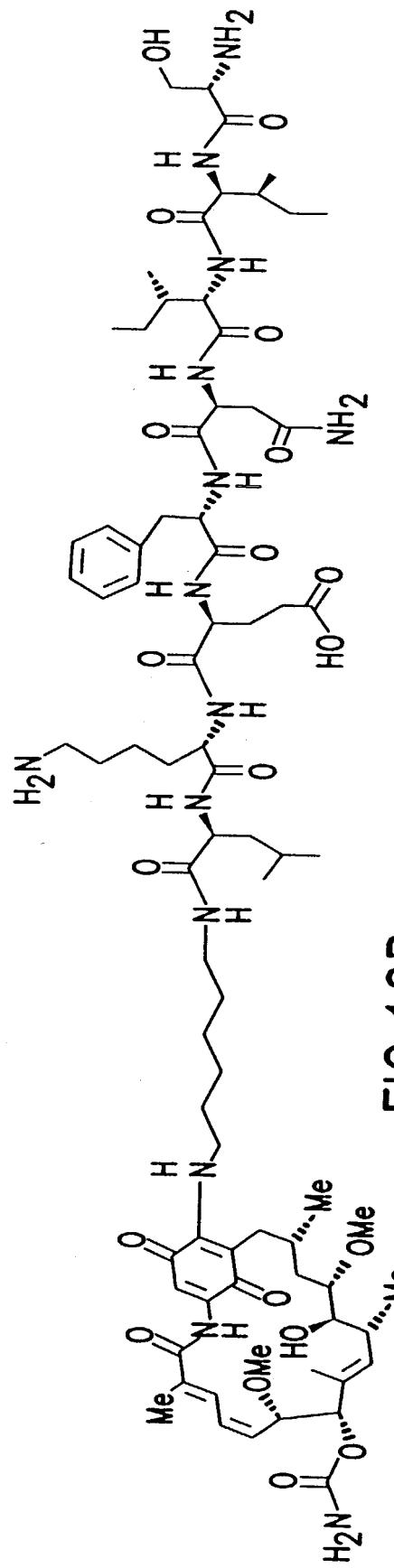


FIG. 10B

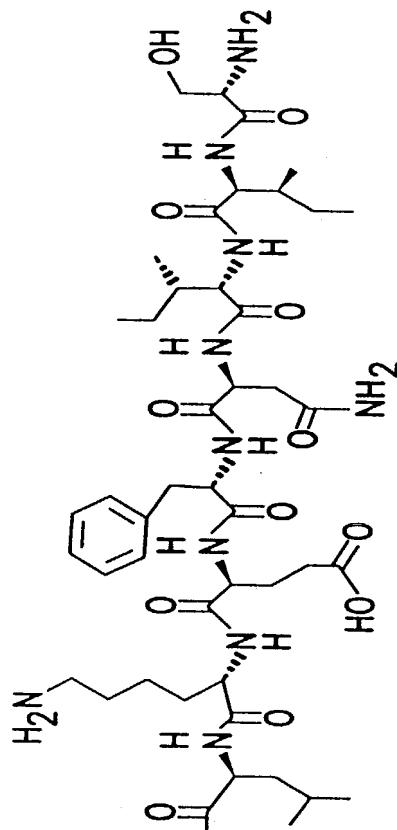
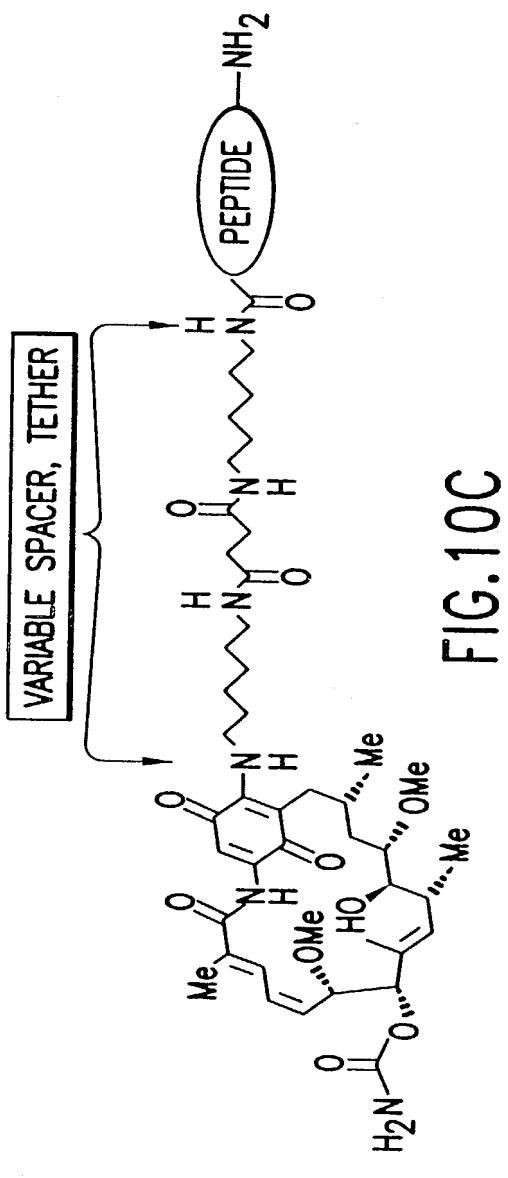
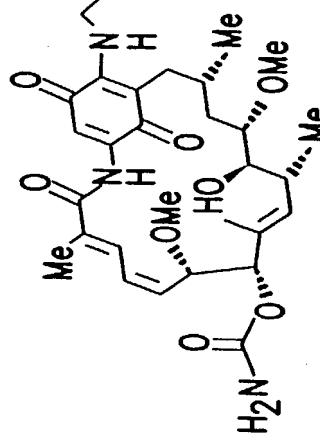


FIG. 10D



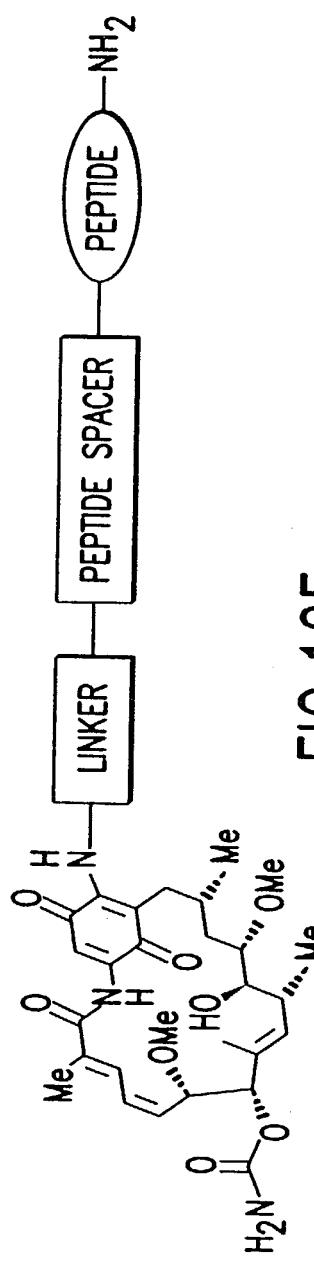


FIG. 10E

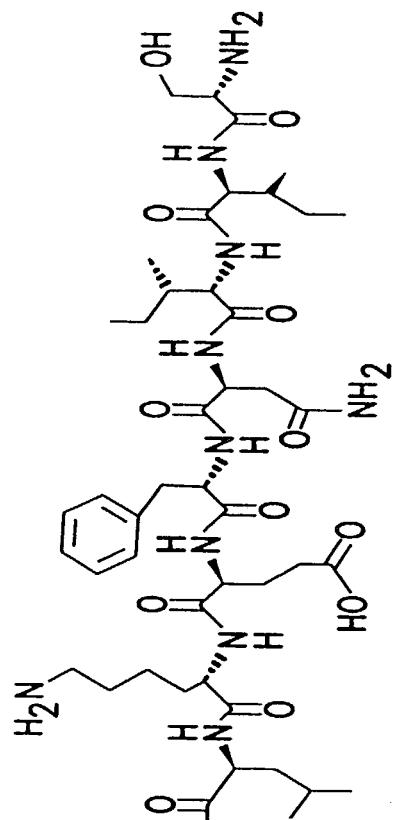
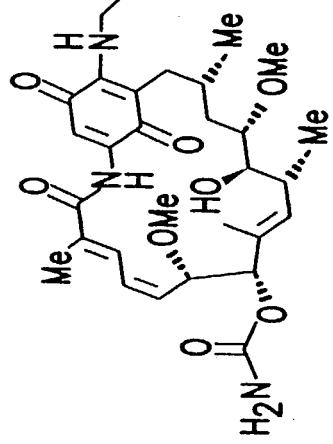


FIG. 10F





VARIABLE SPACER, TETHER

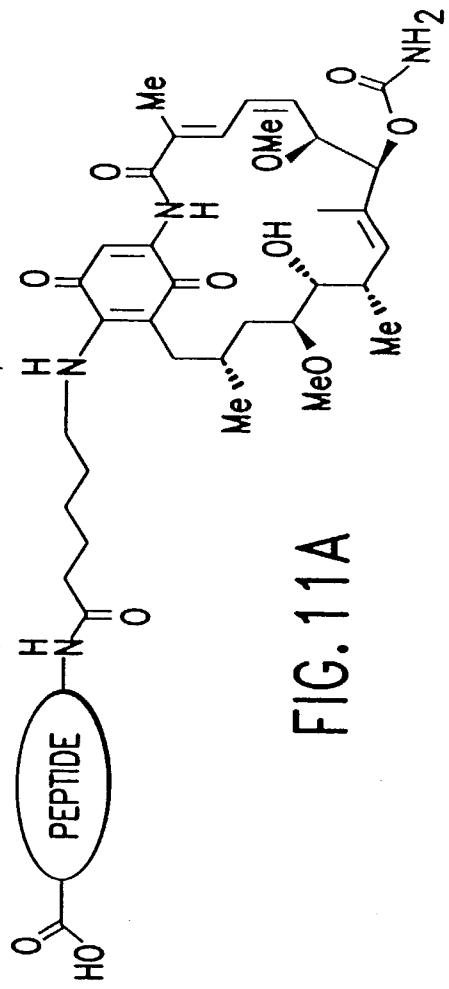


FIG. 11A

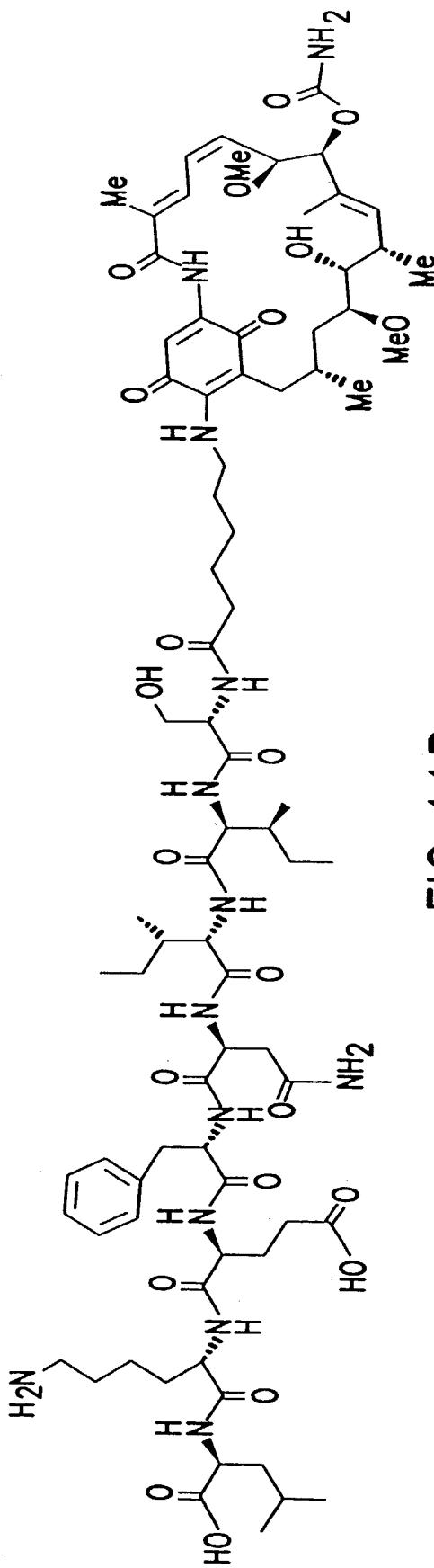


FIG. 11B

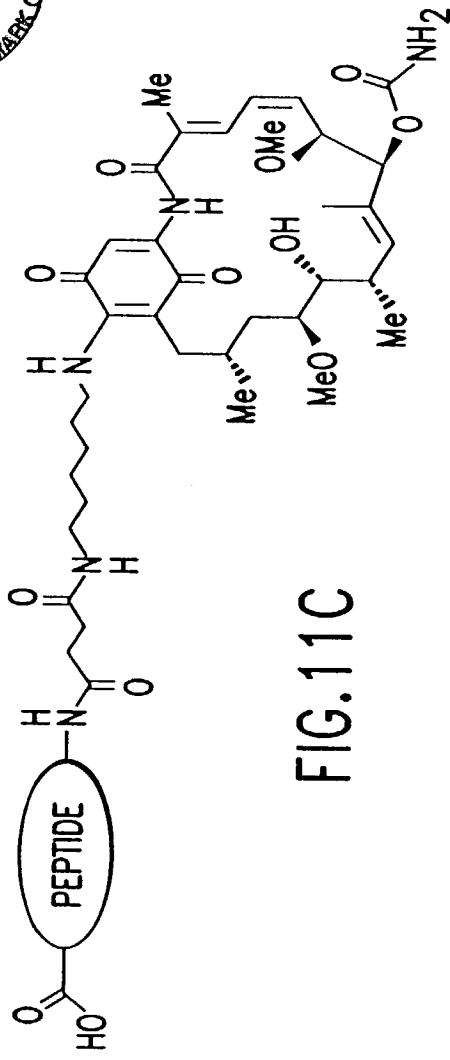


FIG. 11C

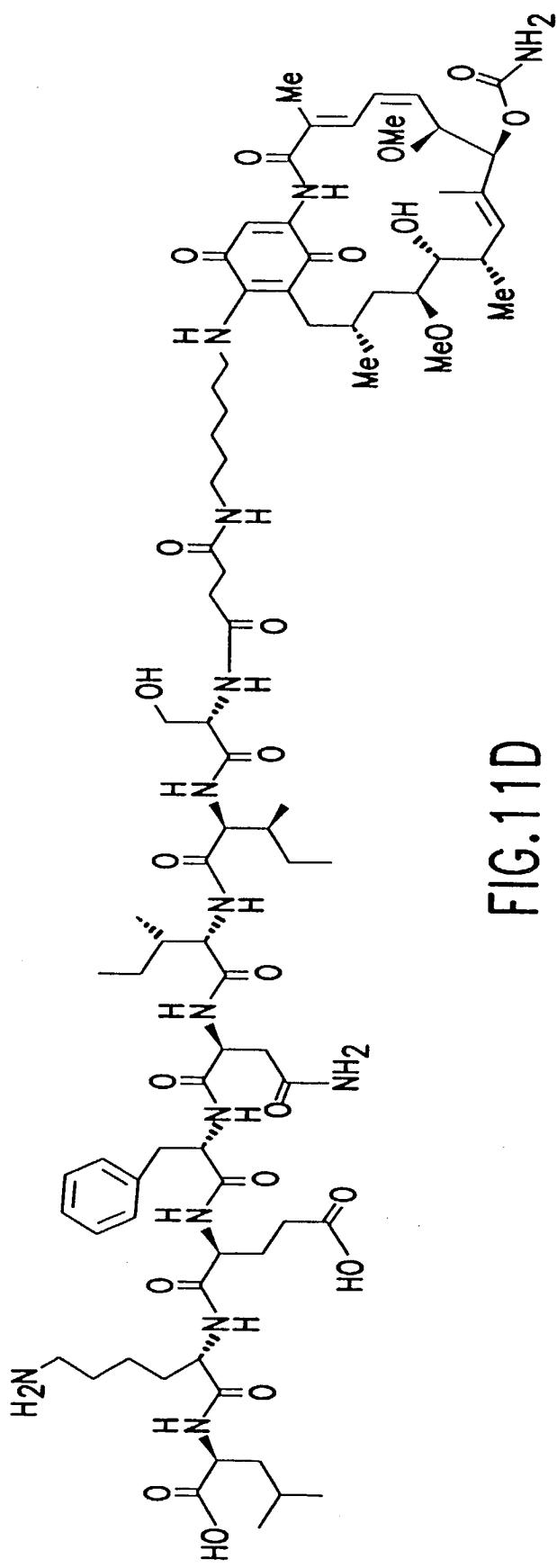


FIG. 11D

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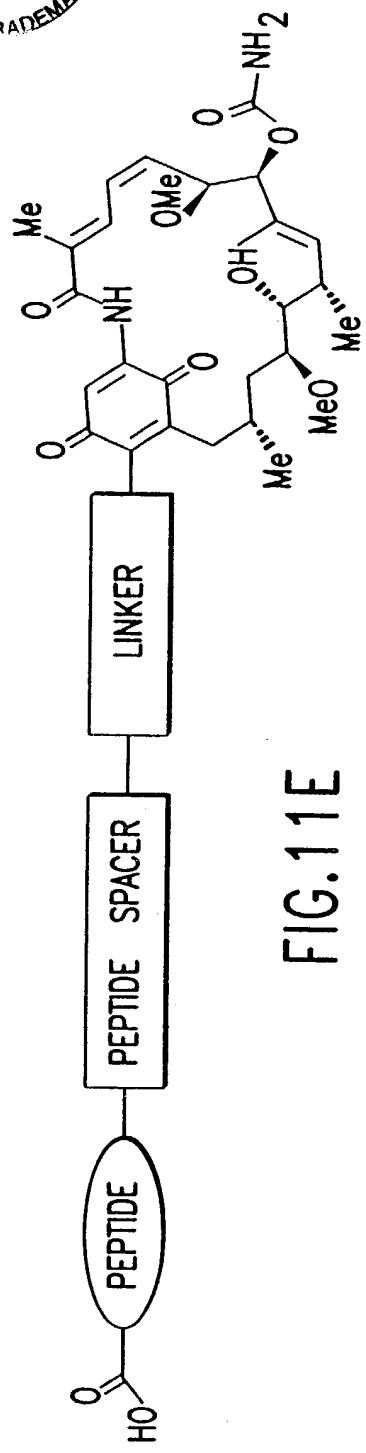


FIG. 11E

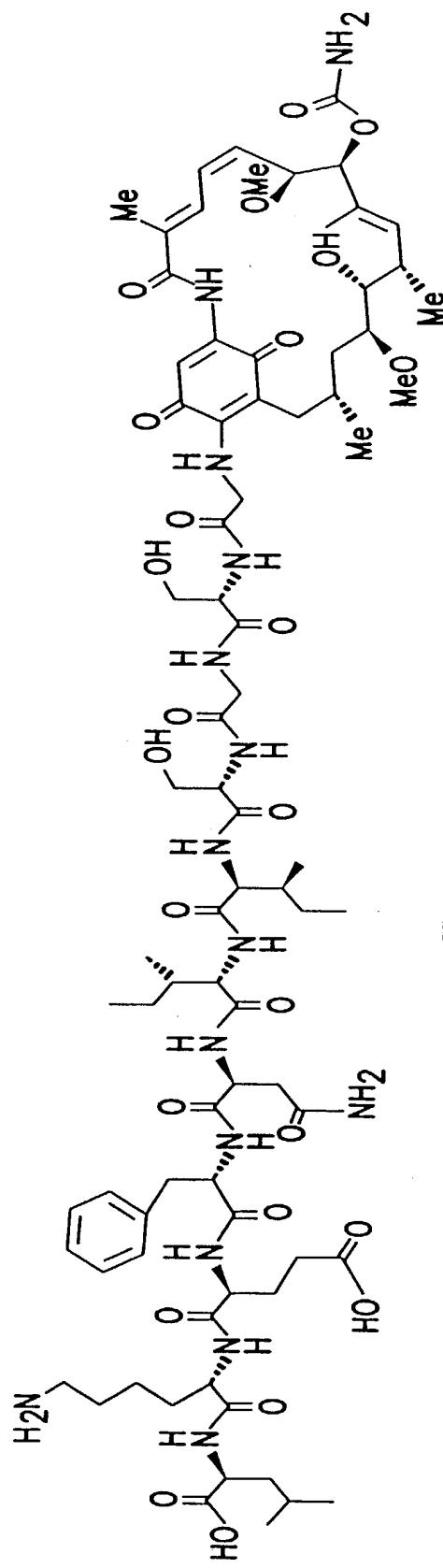


FIG. 11F

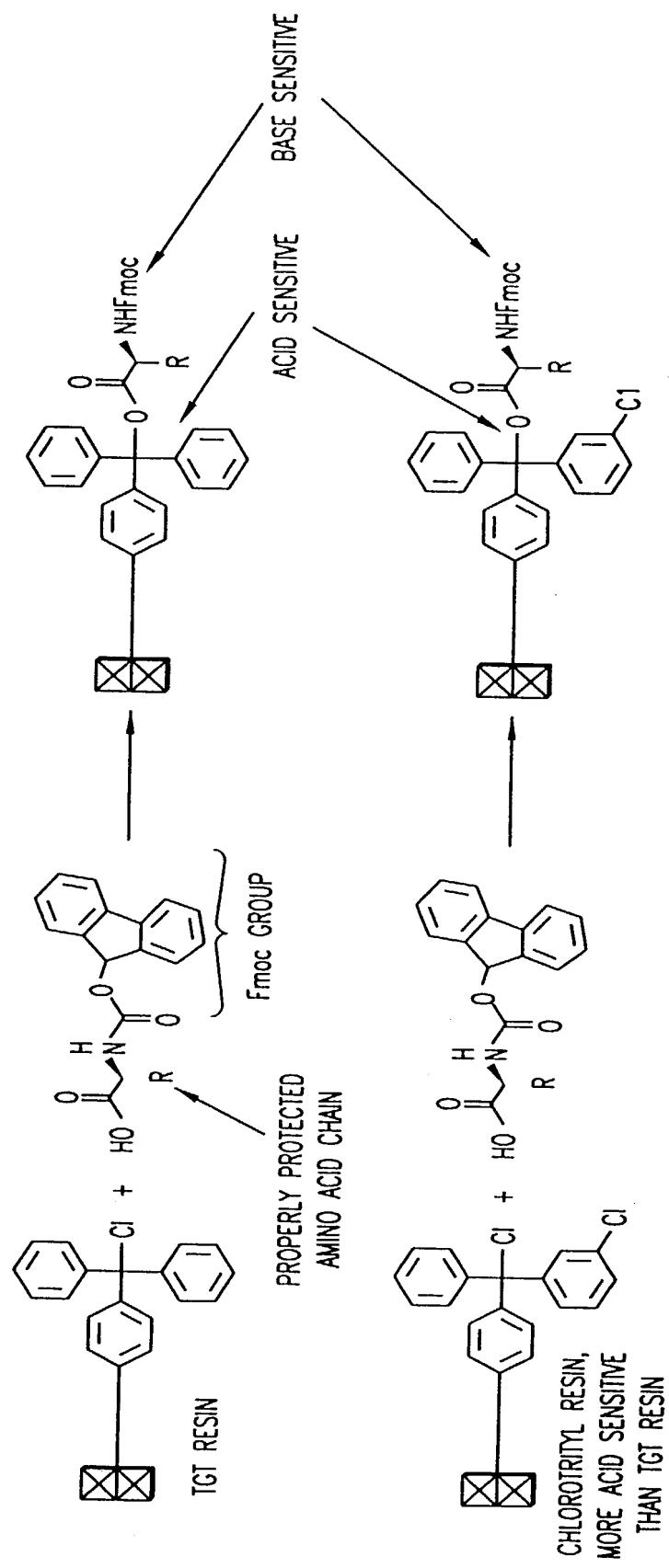


FIG. 12

O I P E JC108  
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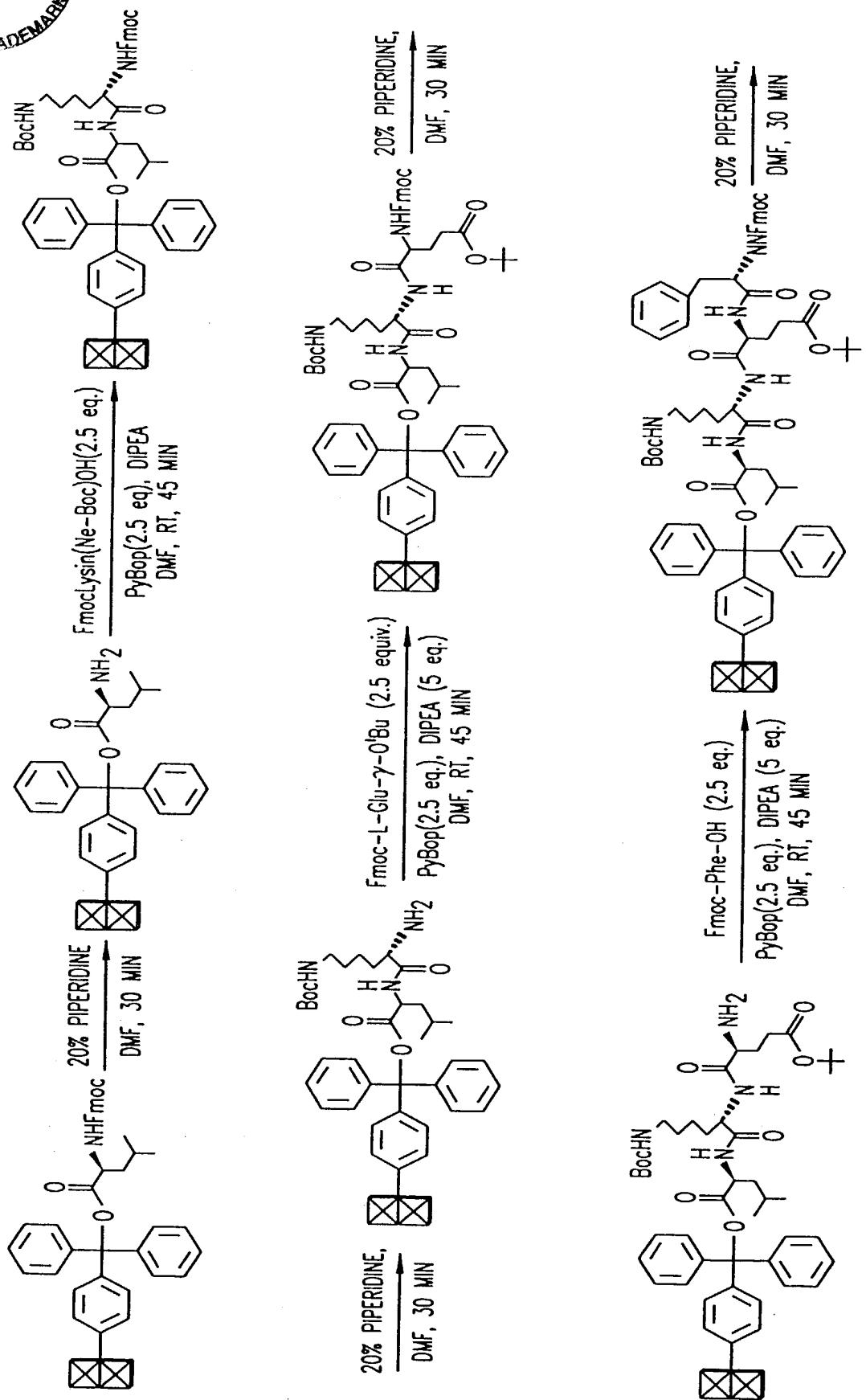


FIG. 13A



FIG. 13B

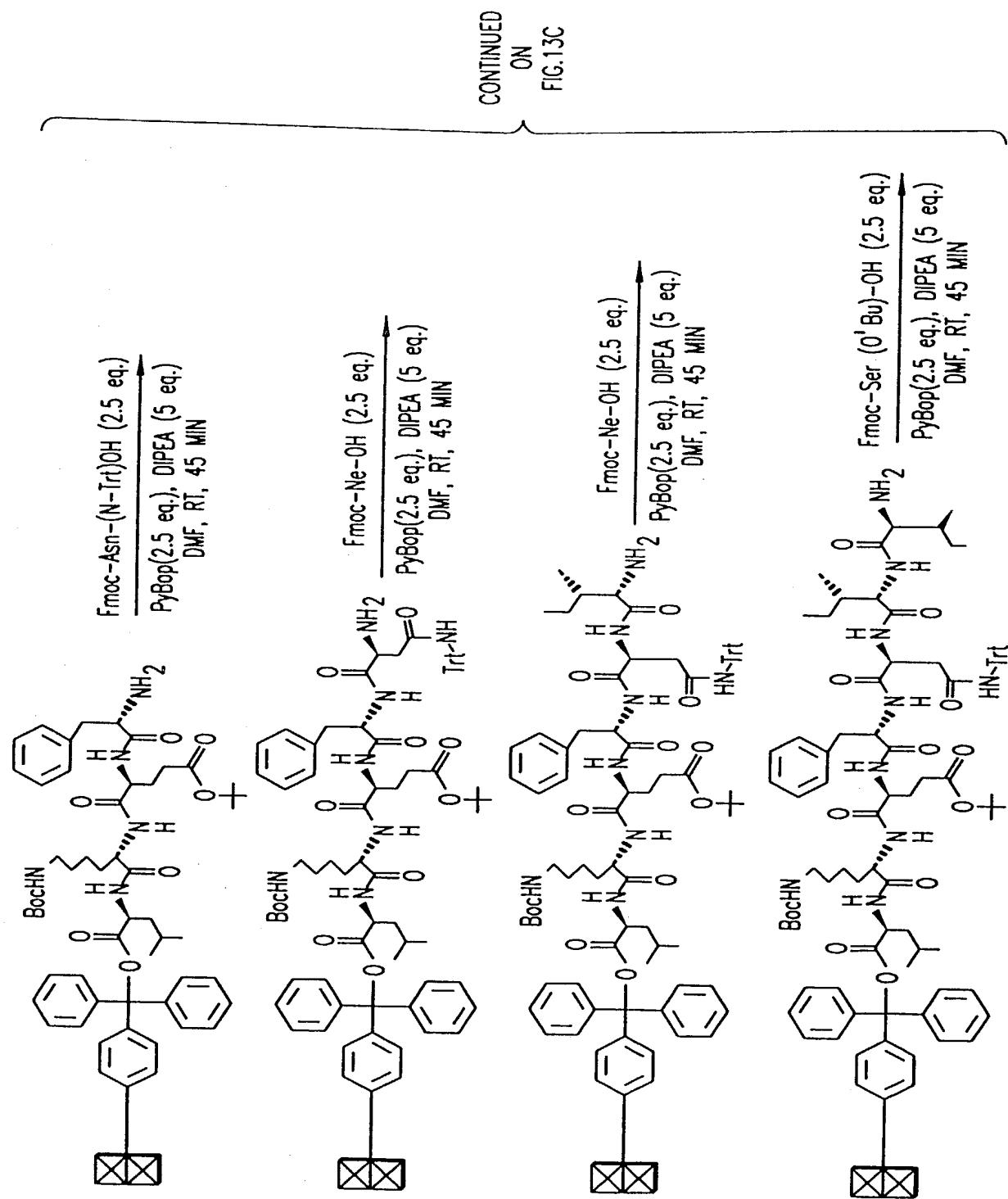
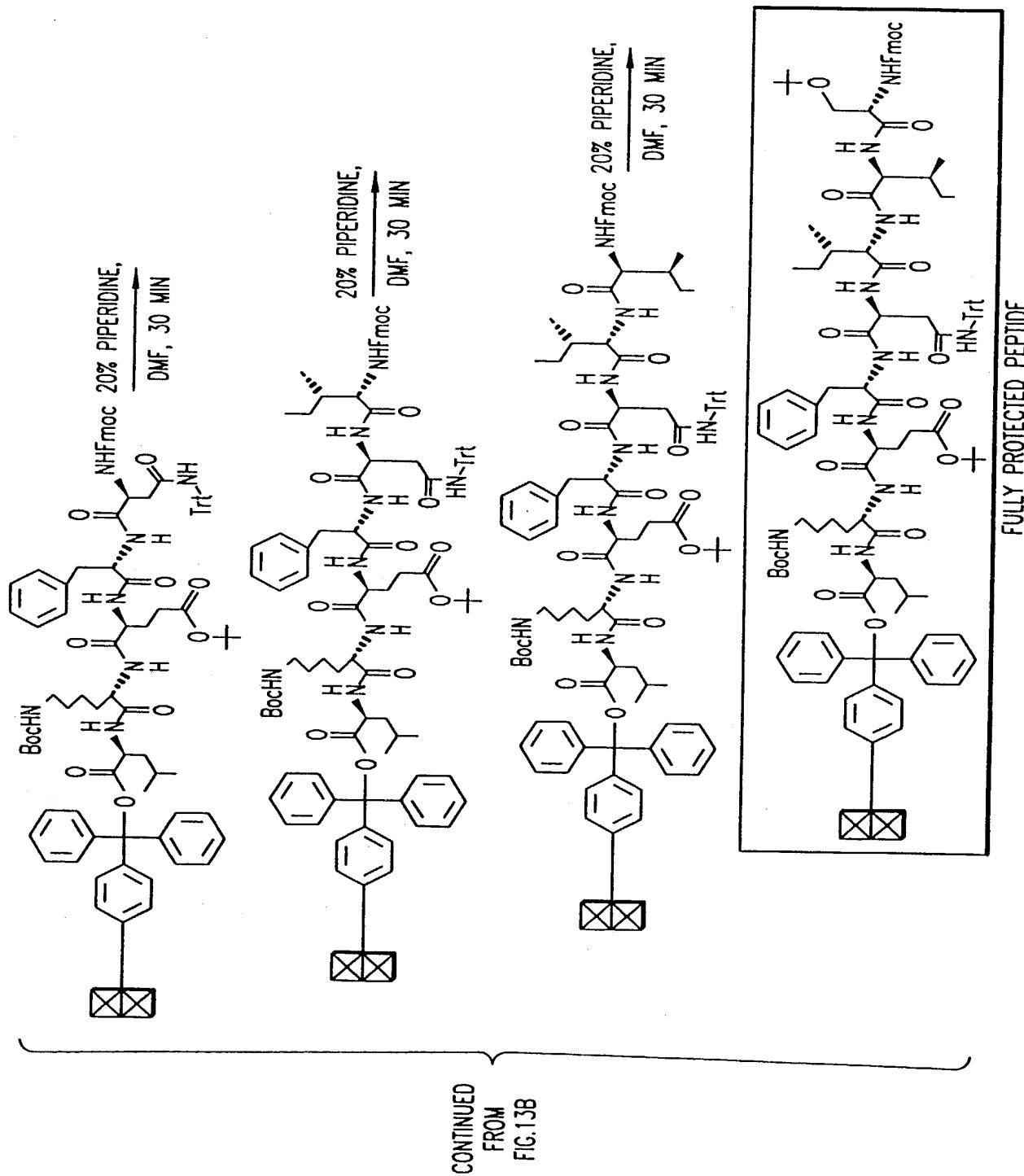
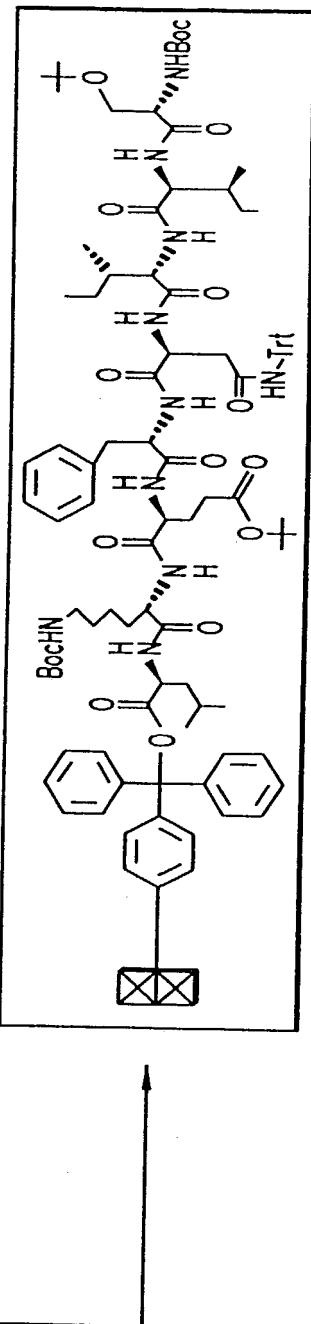
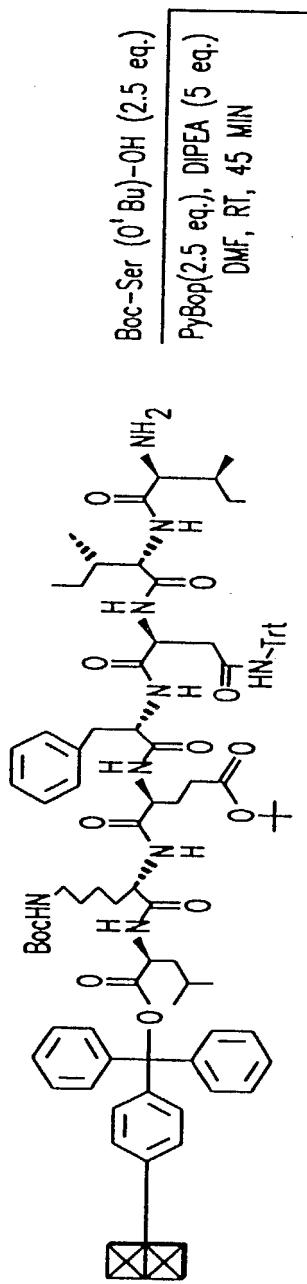




FIG. 13C





## **FULLY PROTECTED PEPTIDE**

FIG. 14A

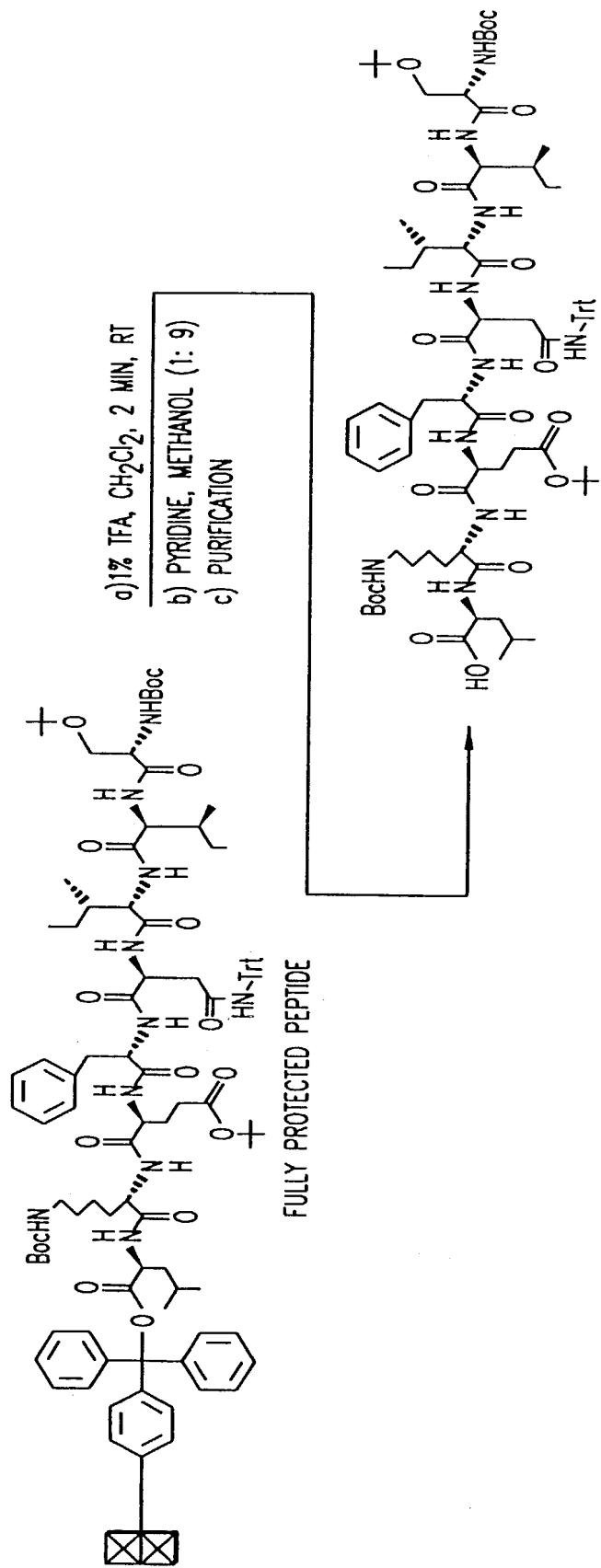
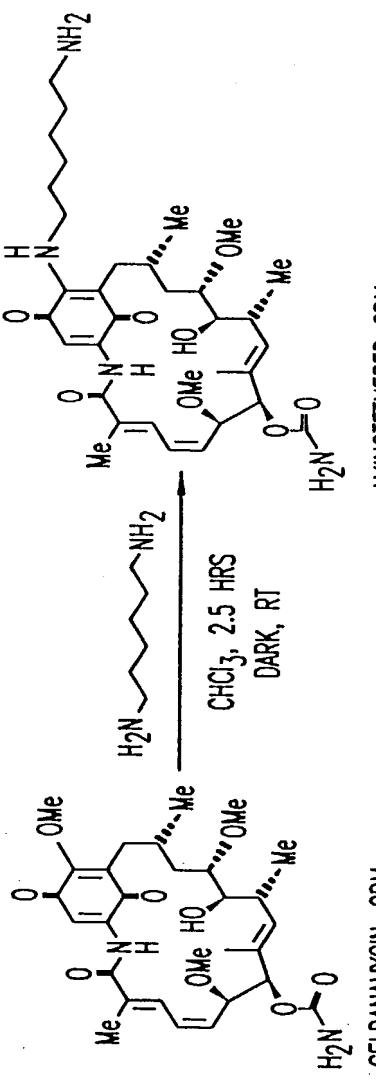
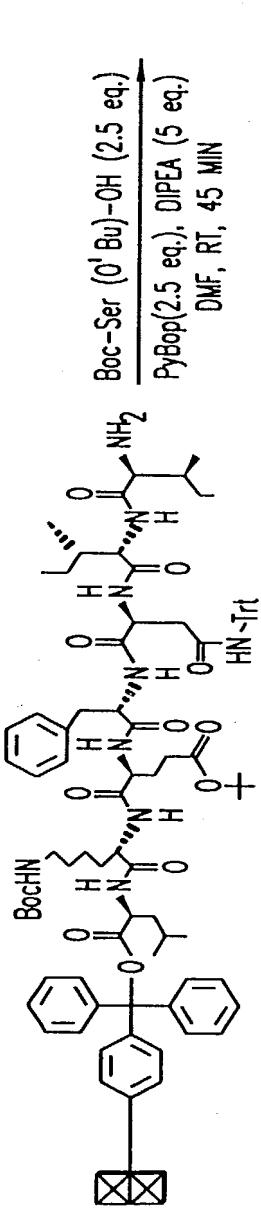


FIG. 14B



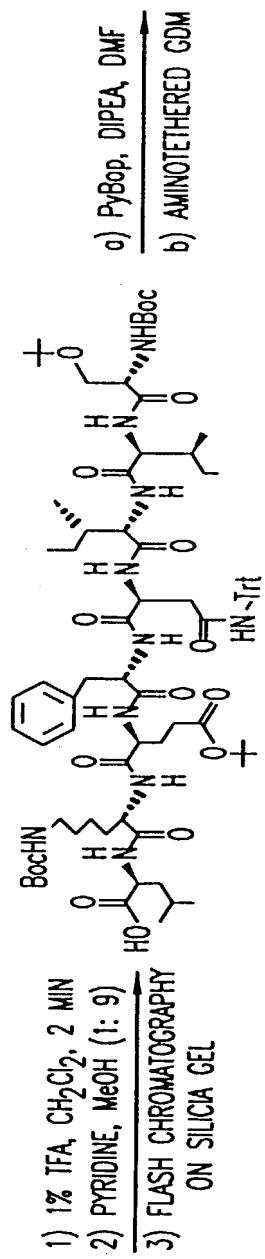
AMINOTETHERED GDM  
GELDANAMYCIN, GDM



CONTINUED  
ON  
FIG. 15B

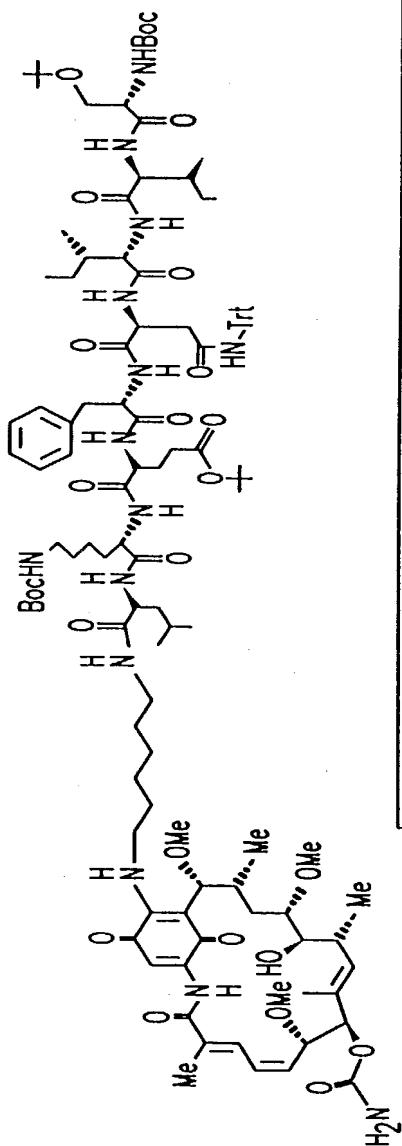
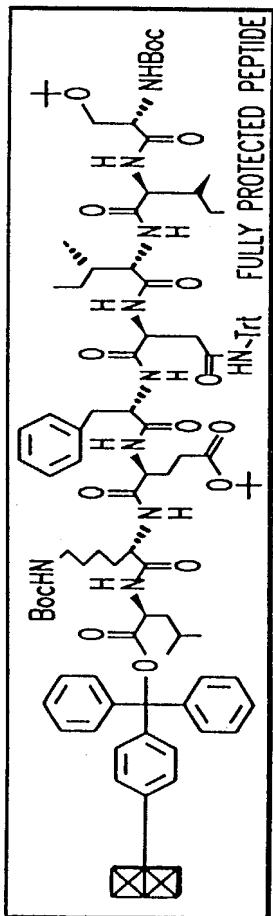
FIG. 158

FIG. 158

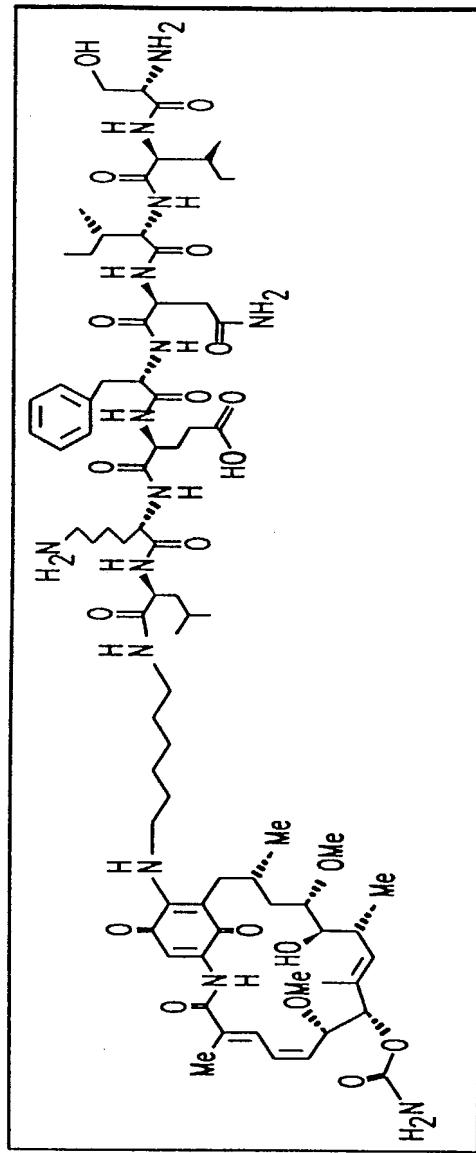


1) 1% TFA,  $\text{CH}_2\text{Cl}_2$ , 2  
 2) PYRIDINE, MeOH (1  
 3) FLASH CHROMATOGRAPHY  
 ON SILICA GEL

FIG. 15A

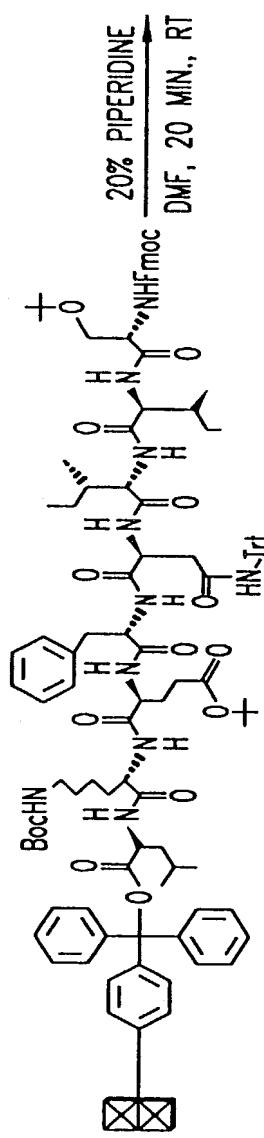


CONTINUED  
FROM  
FIG. 15A



1) DEPROTECTION:  
95% TFA, 2.5% CH<sub>2</sub>Cl<sub>2</sub>, 2.5% TIPS  
2) PURIFICATION

FIG. 15B



FULLY PROTECTED PEPTIDE

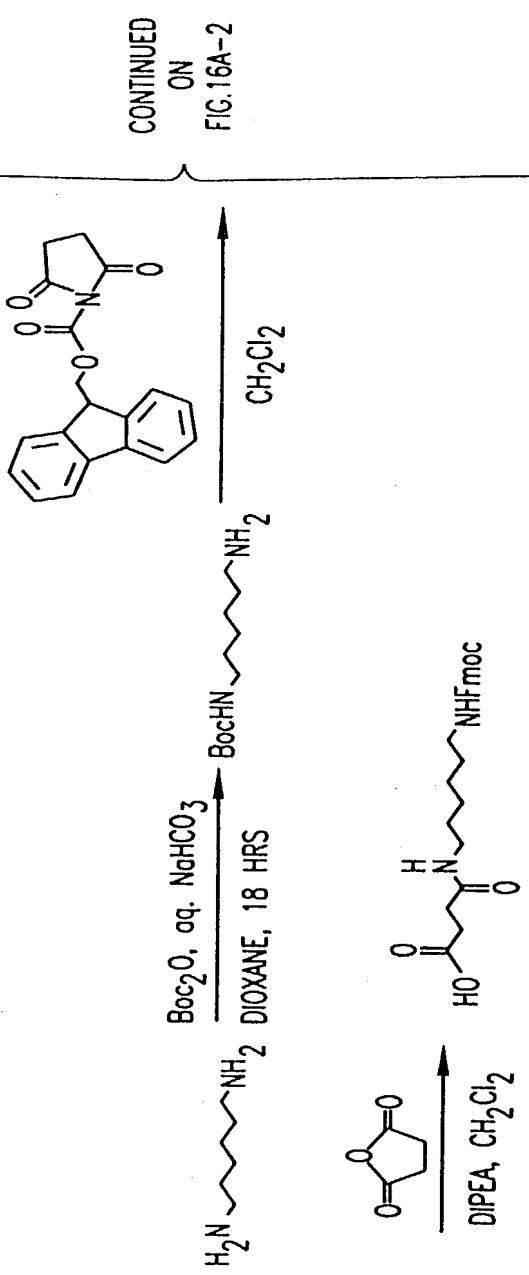
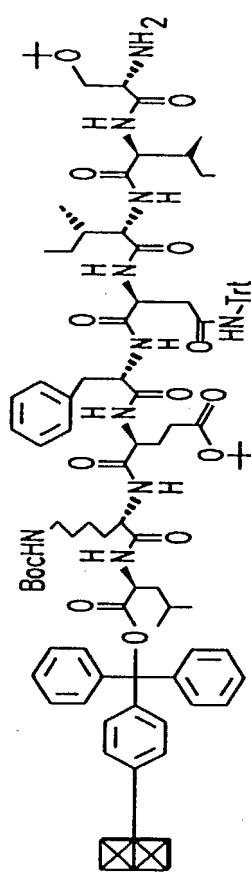


FIG. 16A-1



PEPTIDE WITH TERMINAL AMINE FREE

CONTINUED  
FROM  
FIG.16A-1

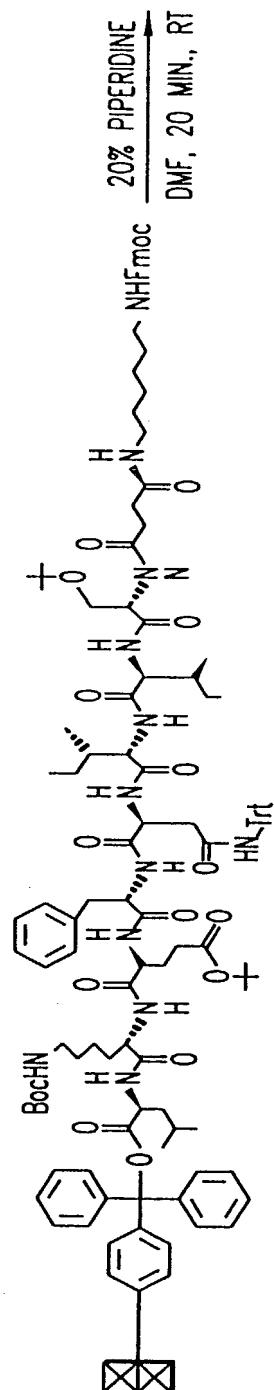
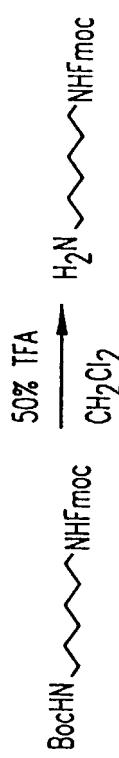
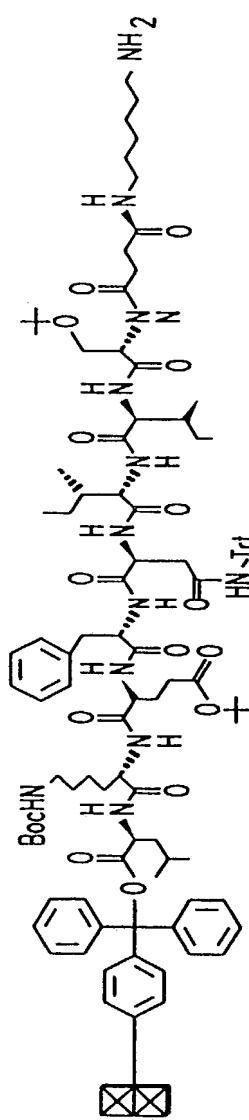


FIG.16A-2

GDM, DMSO, RT, DARK, 4-5 HOURS

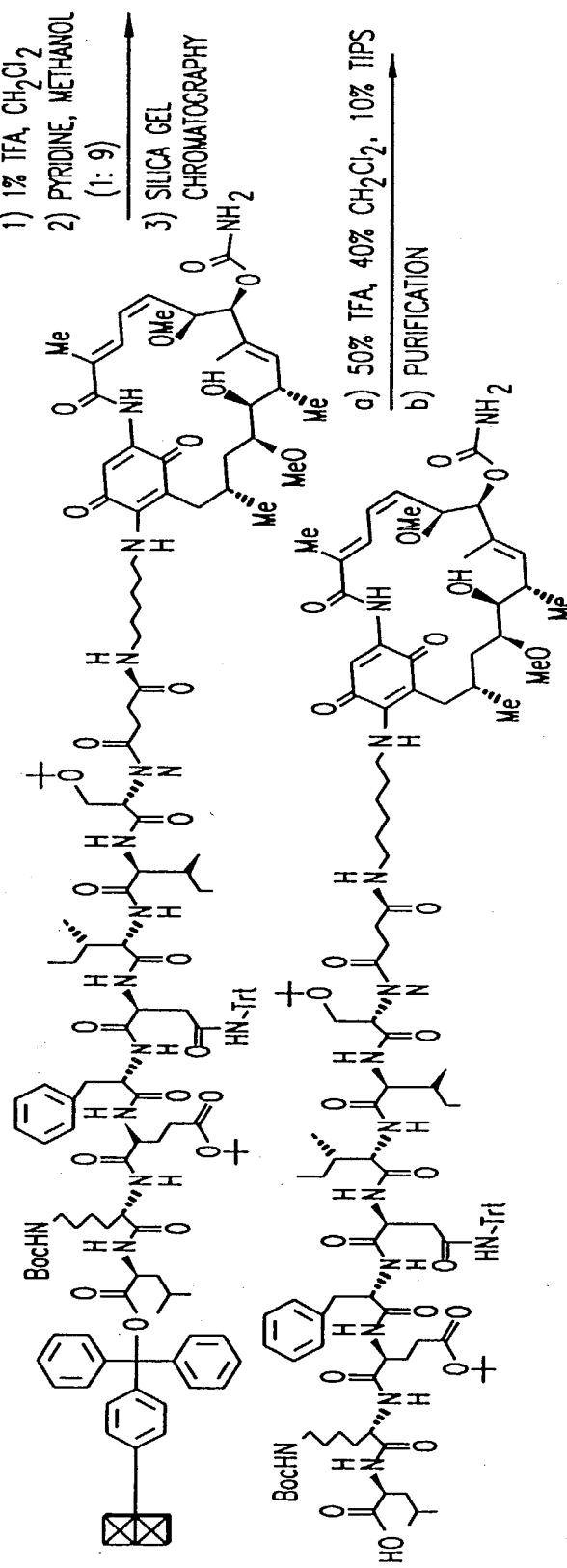


1) 1%  
2) PYRIL (1:

---

3) SILICA GEL CHROMATOGRAPHY

-NH<sub>2</sub>



o) 50% TFA, 40%  $\text{CH}_2\text{Cl}_2$ , 10% TIPS

U) FUNDATION

H2

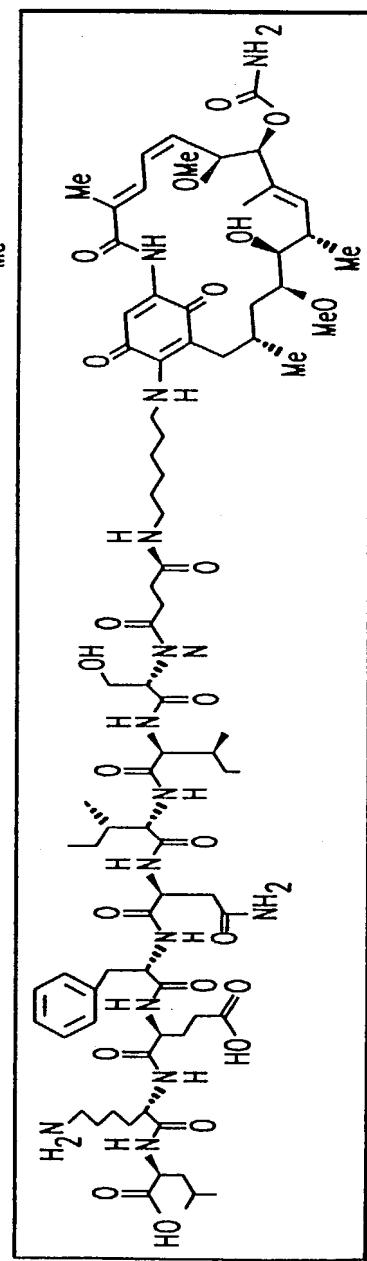


FIG. 16B

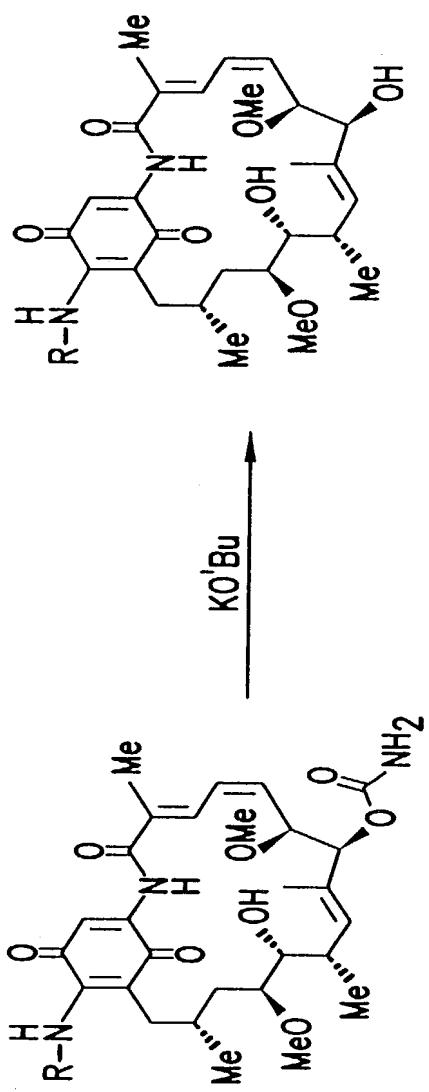


FIG. 17A

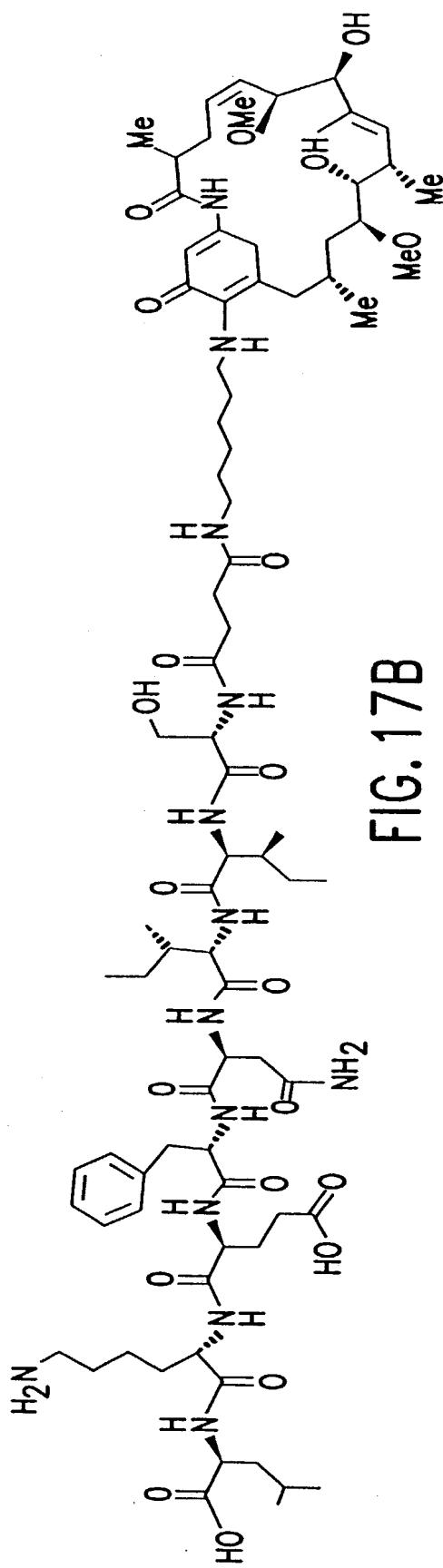


FIG. 17B

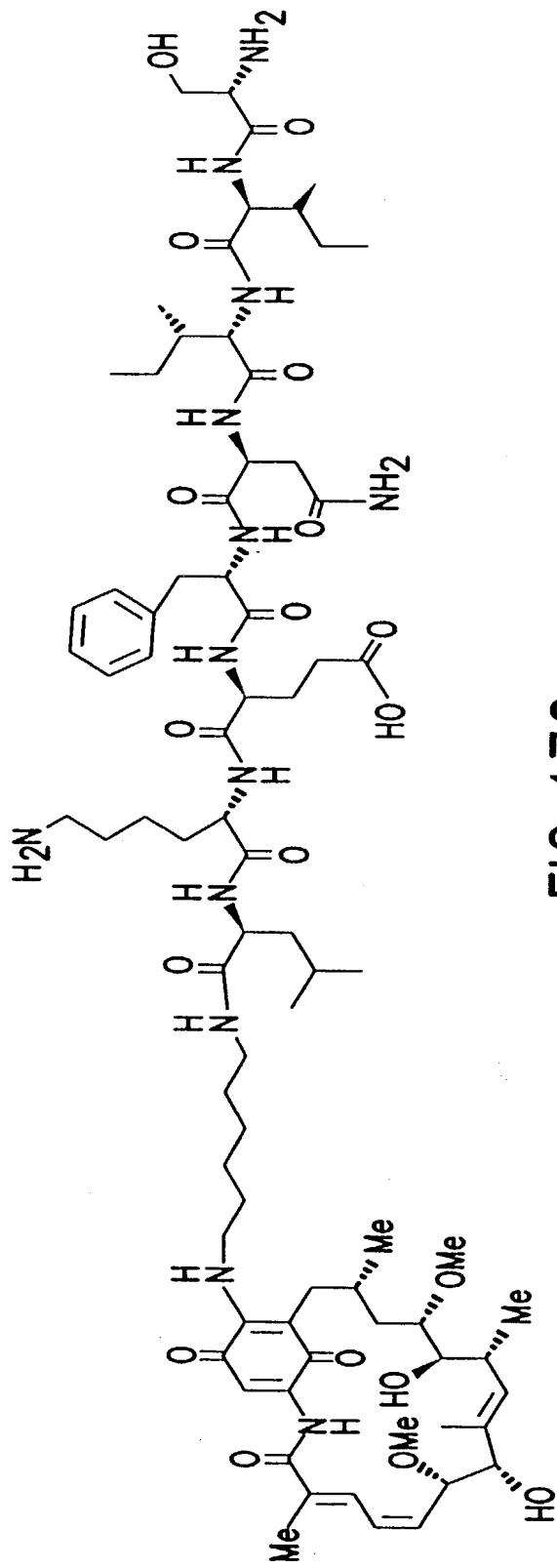


FIG. 17C

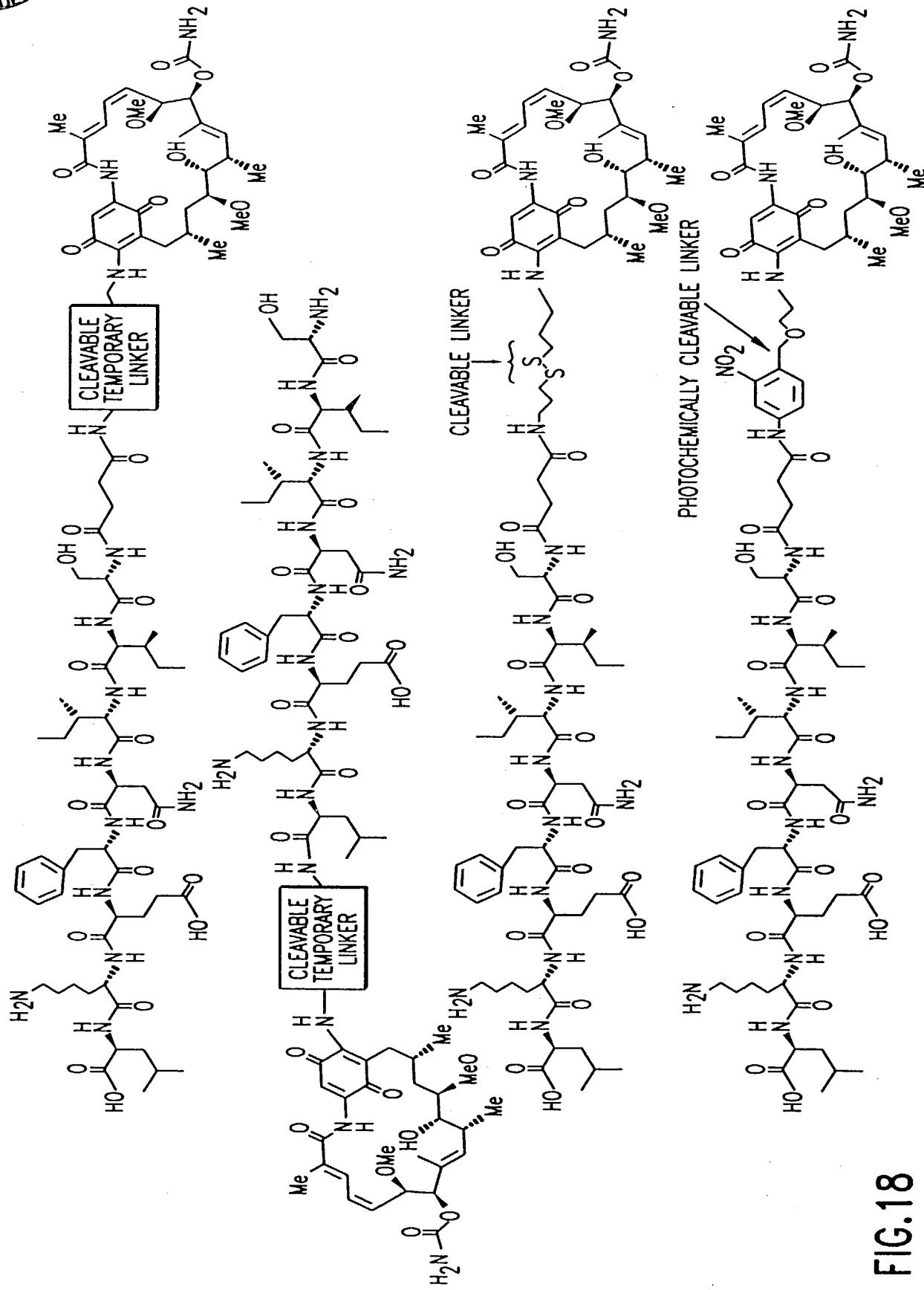


FIG. 18

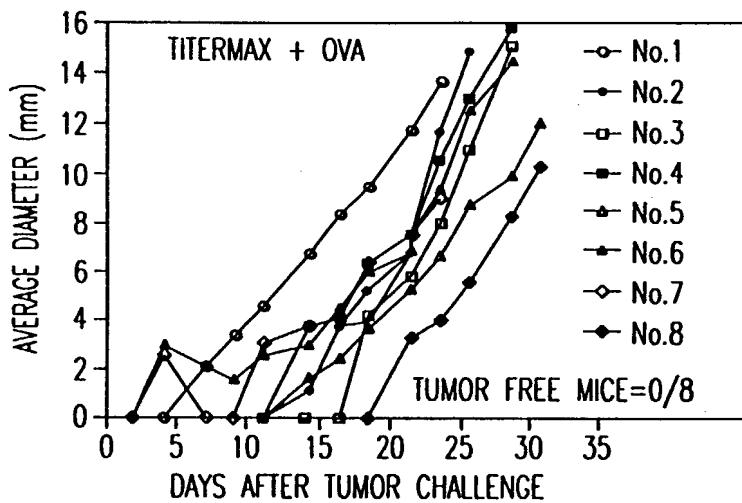


FIG. 19A

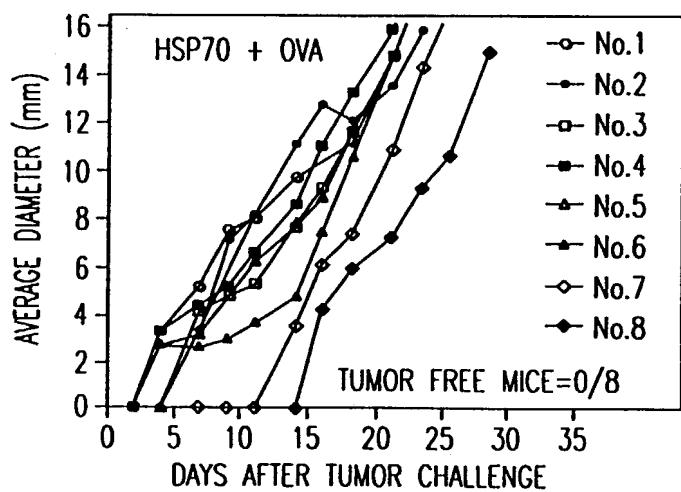


FIG. 19B

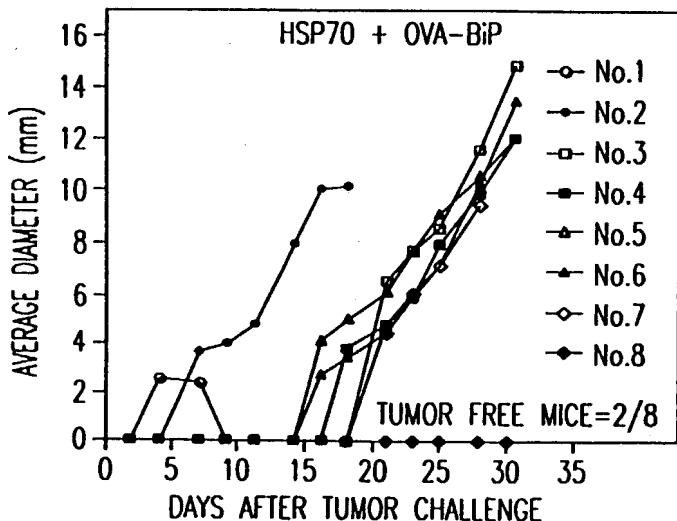


FIG. 19C

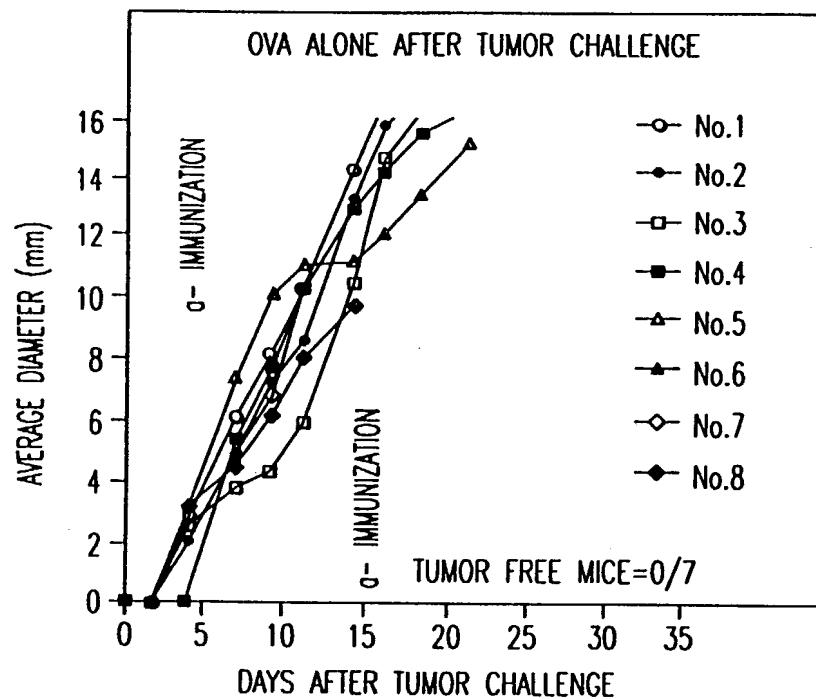


FIG.19D

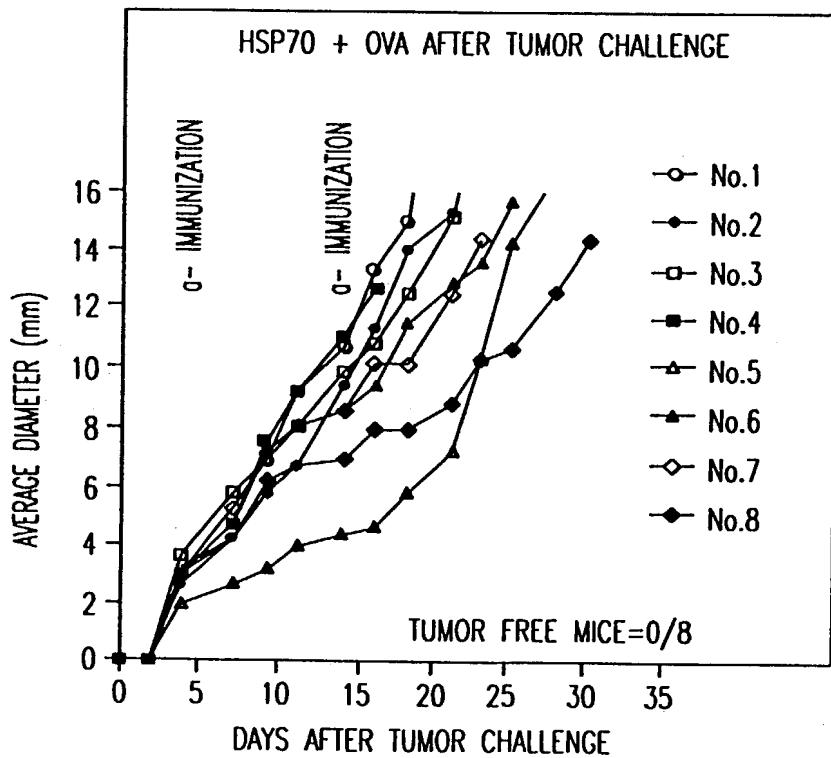
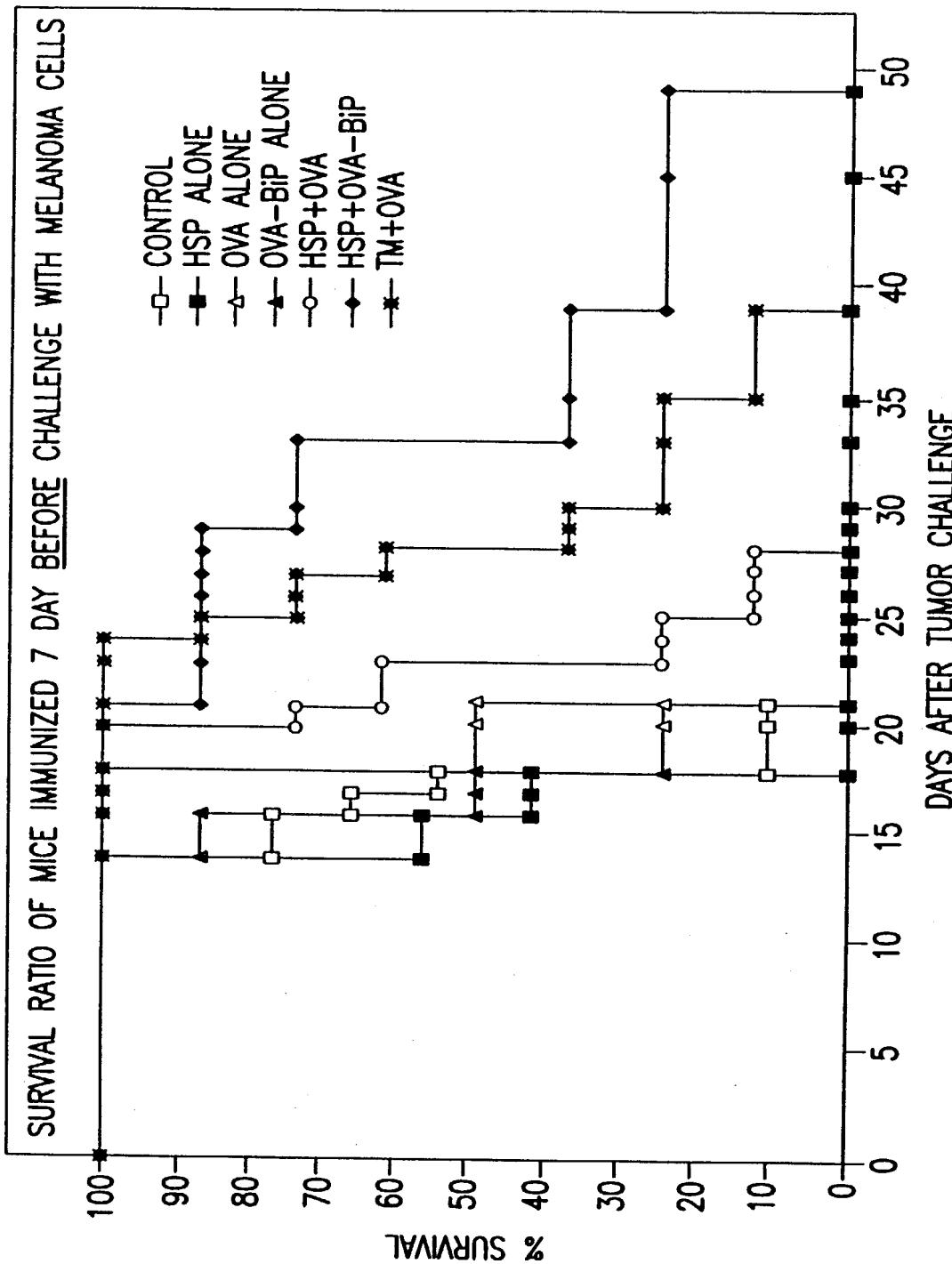
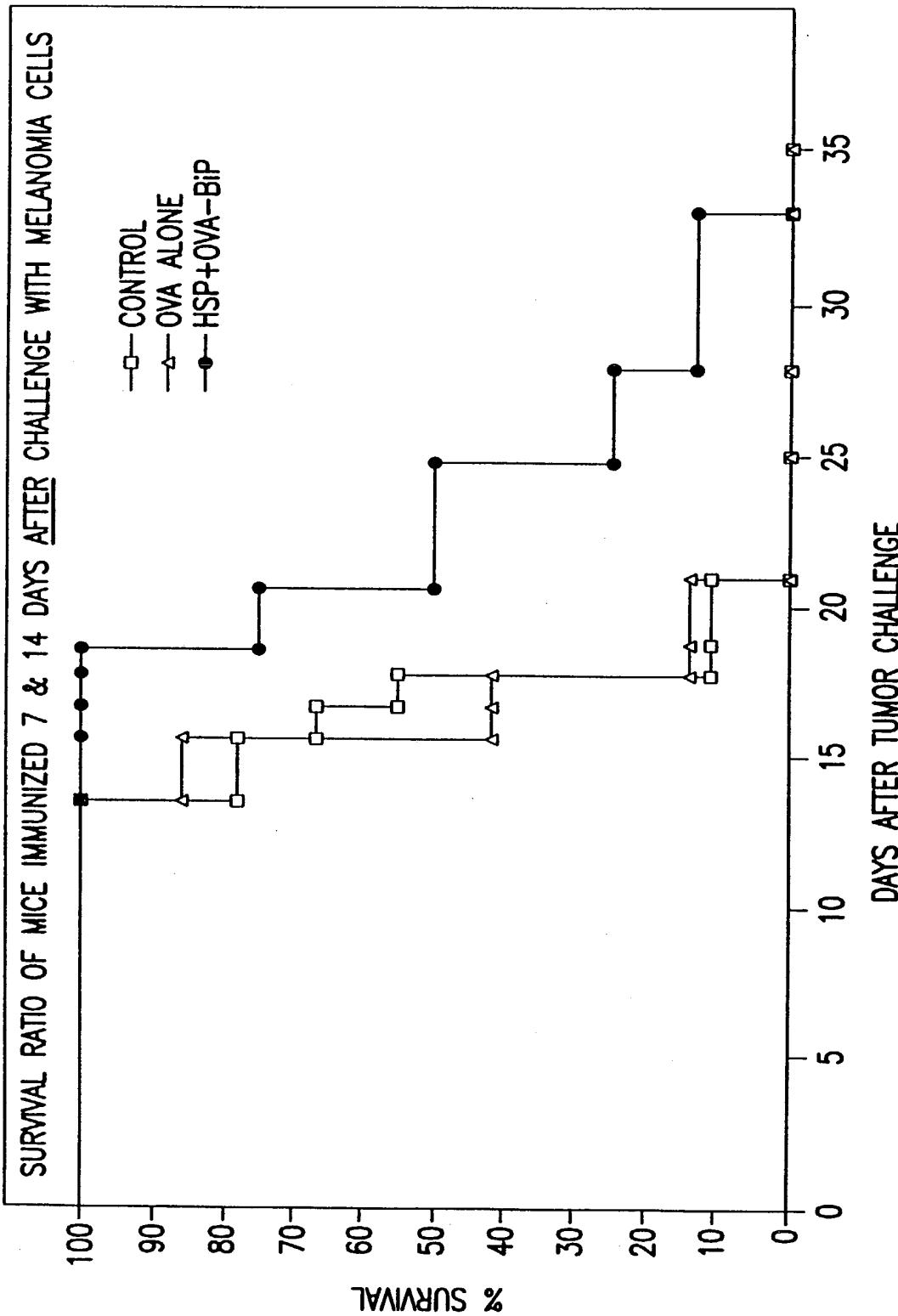


FIG.19E



**FIG. 19F**



**FIG. 19G**